

FORM PTO 1399  
(REV 12-29-99)

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTORNEY'S DOCKET NUMBER

TRANSMITTAL LETTER TO THE UNITED STATES  
DESIGNATED/ELECTED OFFICE (DO/EO/US)  
CONCERNING A FILING UNDER 35 U.S.C. 371

Mo-6110/LeA 33.159

U.S. APPLICATION NO. (Flowchart 39 CFR 1.5)

To Be Assigned

PRIORITY DATE CLAIMED

July 24, 1998

INTERNATIONAL APPLICATION NO.

INTERNATIONAL FILING DATE

PCT/EP99/04929

July 13, 1999

TITLE OF INVENTION Substituted Benzoylcyclohexandiones

APPLICANT(S) FOR DO/EO/US Hans-Georg Schwarz et al

Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

1. ☒ This is a **FIRST** submission of items concerning a filing under 35 U.S.C. 371.
2. ☐ This is a **SECOND** or **SUBSEQUENT** submission of items concerning a filing under 35 U.S.C. 371.
3. ☐ This express request to begin national examination procedures (35 U.S.C. 371(f)) at any time rather than delay examination until the expiration of the applicable time limit set in 35 U.S.C. 371(b) and PCT Articles 22 and 39(1).
4. ☒ A proper Demand for International Preliminary Examination was made by the 19th month from the earliest claimed priority date.
5. ☒ A copy of the International Application as filed (35 U.S.C. 371(c)(2))
  - a. ☒ is transmitted herewith (required only if not transmitted by the International Bureau).
  - b. ☐ has been transmitted by the International Bureau.
  - c. ☐ is not required, as the application was filed in the United States Receiving Office (RO/US).
6. ☒ A translation of the International Application into English (35 U.S.C. 371(c)(2)).
7. ☐ Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3))
  - a. ☐ are transmitted herewith (required only if not transmitted by the International Bureau).
  - b. ☐ have been transmitted by the International Bureau.
  - c. ☐ have not been made; however, the time limit for making such amendments has NOT expired.
  - d. ☐ have not been made and will not be made.
8. ☐ A translation of the amendments to the claims under PCT Article 19 (35 U.S.C. 371(c)(3)).
9. ☐ An oath or declaration of the inventor(s) (35 U.S.C. 371(c)(4)).
10. ☐ A translation of the annexes to the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).

## Items 11. to 16. below concern document(s) or information included:

11. ☐ An Information Disclosure Statement under 37 CFR 1.97 and 1.98.
12. ☐ An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
13. ☒ A FIRST preliminary amendment.  
☐ A SECOND or SUBSEQUENT preliminary amendment.
14. ☐ A substitute specification.
15. ☐ A change of power of attorney and/or address letter.
16. ☐ Other items or information:

17. ☒ The following fees are submitted:**BASIC NATIONAL FEE** (37 CFR 1.492 (a) (1) - (5)) :

Neither international preliminary examination fee (37 CFR 1.482)  
nor international search fee (37 CFR 1.445(a)(2)) paid to USPTO  
and International Search Report not prepared by the EPO or JPO ..... **\$970.00**

International preliminary examination fee (37 CFR 1.482) not paid to  
USPTO but International Search Report prepared by the EPO or JPO ..... **\$840.00**

International preliminary examination fee (37 CFR 1.482) not paid to USPTO but  
international search fee (37 CFR 1.445(a)(2)) paid to USPTO ..... **\$690.00**

International preliminary examination fee paid to USPTO (37 CFR 1.482)  
but all claims did not satisfy provisions of PCT Article 33(1)-(4) ..... **\$670.00**

International preliminary examination fee paid to USPTO (37 CFR 1.482)  
and all claims satisfied provisions of PCT Article 33(1)-(4) ..... **\$96.00**

**ENTER APPROPRIATE BASIC FEE AMOUNT** =**CALCULATIONS** PTO USE ONLY

\$ 860.00

Surcharge of **\$130.00** for furnishing the oath or declaration later than ☐ 20 ☐ 30  
months from the earliest claimed priority date (37 CFR 1.492(e)).

\$ 0.00

CLAIMS	NUMBER FILED	NUMBER EXTRA	RATE
Total claims	20 - 20 =	0	X \$18.00
Independent claims	2 - 3 =	0	X \$78.00

\$ 0.00

\$ 0.00

MULTIPLE DEPENDENT CLAIM(S) (if applicable) + **\$260.00**

\$ 0.00

**TOTAL OF ABOVE CALCULATIONS** =

\$ 860.00

Reduction of 1/2 for filing by small entity, if applicable. A Small Entity Statement  
must also be filed (Note 37 CFR 1.9, 1.27, 1.28).

\$ 0.00

**SUBTOTAL** =

\$ 860.00

Processing fee of **\$130.00** for furnishing the English translation later than ☐ 20 ☐ 30  
months from the earliest claimed priority date (37 CFR 1.492(f)).

\$ 0.00

**TOTAL NATIONAL FEE** =

\$ 860.00

Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be  
accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). **\$40.00** per property +

\$ 0.00

**TOTAL FEES ENCLOSED** =

\$ 860.00

Amount to be  
refunded: \$

charged: \$

a. ☐ A check in the amount of \$ \_\_\_\_\_ to cover the above fees is enclosed.

b. ☒ Please charge my Deposit Account No. 13-3848 in the amount of \$860.00 to cover the above fees.  
A duplicate copy of this sheet is enclosed.

c. ☒ The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any  
overpayment to Deposit Account No. 13-3848. A duplicate copy of this sheet is enclosed.

**NOTE:** Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR 1.137(a) or (b)) must be filed and granted to restore the application to pending status.

SEND ALL CORRESPONDENCE TO:

Jackie Ann Zurcher  
Bayer Corporation  
Patent Department  
100 Bayer Road  
Pittsburgh, PA 15205-9741



00157

PATENT TRADEMARK OFFICE

*Jackie Ann Zurcher*  
SIGNATURE:  
NAME  
42,251  
REGISTRATION NUMBER

# TRANSMITTAL LETTER TO THE UNITED STATES RECEIVING OFFICE

522 Rec'd PCT/PTO 17 JAN 2001

Date	January 17, 2001
International Application No.	PCT/EP99/04929
Attorney Docket No.	Mo-6110/LeA 33,159

## I. Certification under 37 CFR 1.10 (if applicable)

09/743876

EL062671160US
Express Mail mailing number

January 17, 2001
Date of Deposit

I hereby certify that the application/correspondence attached hereto is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 on the date indicated above and is addressed to Assistant Commissioner for Patents, Washington, D.C. 20231.

Signature of person mailing correspondence

Donna J. Veatch
Typed or printed name of person mailing correspondence

## II. ☒ New International Application

TITLE Substituted Benzoylcyclohexandiones

Earliest priority date  
(Day/Mon/Year)  
  
(24/07/98)

**SCREENING DISCLOSURE INFORMATION:** In order to assist in screening the accompanying international application for purposes of determining whether a license for foreign transmittal should and could be granted and for other purposes, the following information is supplied. (Note: check as many boxes as apply):

- A. ☒ The invention disclosed was not made in the United States.  
 B. ☒ There is no prior U.S. application relating to this invention.  
 C. ☐ The following prior U.S. application(s) contain subject matter which is related to the invention disclosed in the attached international application. (NOTE: priority to these applications may or may not be claimed on form PCT/RO/101 (Request) and this listing does not constitute a claim for priority.)

application no.	filed on
application no.	filed on

- D. ☐ The present international application ☐ contains additional subject matter not found in the prior U.S. application(s) identified in paragraph C. above. The additional subject matter is found on pages  and ☐ DOES NOT ALTER ☐ MIGHT BE CONSIDERED TO ALTER the general nature of the invention in a manner which would require the U.S. application to have been made available for inspection by the appropriate defense agencies under 35 U.S.C. 181 and 37 CFR 5.1. See 37 CFR 5.15

## III. ☐ A Response to an Invitation from the RO/US. The following document(s) is(are) enclosed:

- A. ☐ A Request for An Extension of Time to File a Response  
 B. ☐ A Power of Attorney (General or Regular)  
 C. ☐ Replacement pages:

pages	of the request (PCT/RO/101)	pages	of the figures
pages	of the description	pages	of the abstract
pages	of the claims		

- D. ☐ Submission of Priority Documents

Priority document	Priority document
-------------------	-------------------

- E. ☐ Fees as specified on attached Fee Calculation sheet form PCT/RO/101 annex

## IV. ☐ A Request for Rectification under PCT 91 ☐ A Petition ☐ A Sequence Listing Diskette

- V. ☒ Other (please specify): Preliminary Amendment

The person  
signing this  
form is the:

<input type="checkbox"/> Applicant	Jackie Ann Zurcher
<input checked="" type="checkbox"/> Attorney/Agent (Reg. No.) 42,251	Typed name of signer
<input type="checkbox"/> Common Representative	
	Signature

097743878  
528 Rec'd PCT/PTO 17 JAN 2001

PATENT APPLICATION  
Mo6110  
LeA 33,159

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

APPLICATION OF )  
HANS-GEORG SCHWARZ ET AL ) PCT/EP99/04929  
SERIAL NUMBER: TO BE ASSIGNED )  
FILED: HEREWITH )  
TITLE: SUBSTITUTED BENZOYL CYCLO- )  
HEXANDIONES )

**PRELIMINARY AMENDMENT**

Assistant Commissioner for Patents  
Washington, D.C. 20231  
Sir:

Prior to calculation of the filing fee and examination of the present application,  
please amend the application as follows:

IN THE SPECIFICATION:

Please amend the specification as follows:

On page 1, after the title, please insert:

--FIELD OF THE INVENTION--

On page 1, after line 5, please insert:

--BACKGROUND OF THE INVENTION--

"Express Mail" mailing label number EL062671160US  
Date of Deposit January 17, 2001  
I hereby certify that this paper or fee is being deposited with the United States  
Postal Service "Express Mail Post Office to Addressee" service under 37 CFR  
1.10 on the date indicated above and is addressed to the Assistant Commissioner  
of Patents and Trademarks, Washington, D.C. 20231

Donna J. Veatch

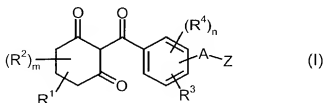
(Name of person mailing paper or fee)

  
Signature of person mailing paper or fee)

On page 1, after line 9, please insert:

--SUMMARY OF THE INVENTION

Novel substituted benzoylcyclohexanediones of the general formula (I),



in which

m and n each independently represent the numbers 0, 1, 2 or 3,

A represents the single bond or represents alkanediyl (alkylene),

R<sup>1</sup> represents hydrogen or represents in each case optionally substituted alkyl or alkoxy carbonyl,

R<sup>2</sup> represents optionally substituted alkyl, or together with R<sup>1</sup> represents alkanediyl (alkylene) where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom or at two adjacent carbon atoms,

R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents in each case optionally substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl,

R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents in each case optionally substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl, and

Z represents an optionally substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping which contains 1 to 4 heteroatoms and which additionally contains one to three oxo groups (C=O) and/or thioxo groups (C=S) as components of the heterocycle,

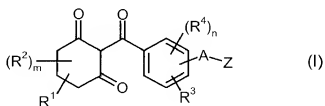
- including all possible tautomeric forms of the compounds of the general formula (I)  
and the possible salts of the compounds of the general formula (I).

# DETAILED DESCRIPTION OF THE INVENTION--

## IN THE CLAIMS:

Please amend Claims 1-11 as follows:

1. Substituted benzoylcyclohexanediones of the [general] formula (I),



in which

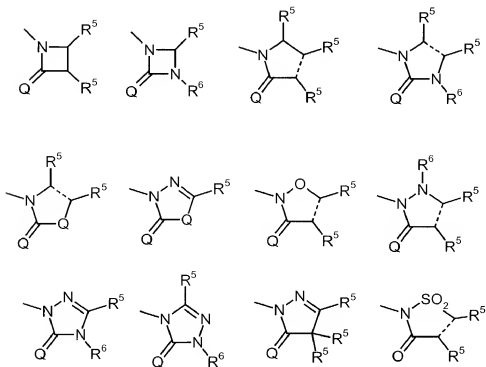
- m represents the numbers 0, 1, 2 or 3,
- n represents the numbers 0, 1, 2 or 3,
- A represents the single bond or represents alkanediyl (alkylene),
- R<sup>1</sup> represents hydrogen or represents [in each case optionally] unsubstituted or substituted alkyl or alkoxy carbonyl,
- R<sup>2</sup> represents [optionally] unsubstituted or substituted alkyl, or together with R<sup>1</sup> represents alkanediyl (alkylene) where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal"),
- R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents [in each case optionally] unsubstituted or substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl,
- R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents [in each case optionally] unsubstituted or substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl, and

- Z represents an [optionally] unsubstituted or substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping which contains 1 to 4 heteroatoms [(up to 4 nitrogen atoms and, if appropriate, - alternatively or additionally - one oxygen atom or one sulphur atom, or one SO grouping or one SO<sub>2</sub> grouping)], and which additionally contains one to three groups selected from oxo groups (C=O), thioxo groups (C=S) and mixtures thereof as components of the heterocycle,
- including all possible tautomeric forms of the compounds of the [general] formula (I) and the possible salts of the compounds of the [general] formula (I).
2. Substituted benzoylcyclohexanediones according to Claim 1,
- [characterized in that] wherein:
- m represents the numbers 0, 1 or 2,
  - n represents the numbers 0, 1 or 2,
  - A represents a single bond or represents alkanediyl (alkylene) having 1 to 4 carbon atoms,
  - R<sup>1</sup> represents hydrogen, or represents [optionally] unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl having 1 to 6 carbon atoms or represents alkoxycarbonyl having up to 6 carbon atoms,
  - R<sup>2</sup> represents [optionally] unsubstituted or halogen-substituted alkyl having 1 to 6 carbon atoms, or together with R<sup>1</sup> represents alkanediyl (alkylene) having 2 to 5 carbon atoms, where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal"),
  - R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, represents [in each case optionally] unsubstituted or

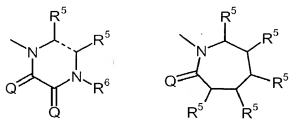
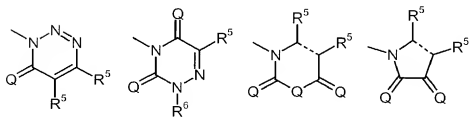
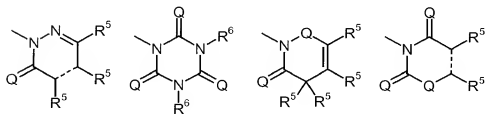
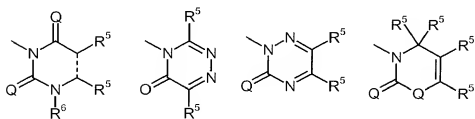
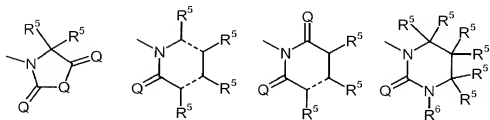
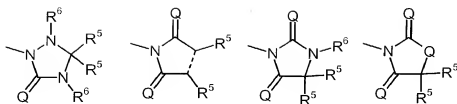
halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having [in each case] up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having [in each case] up to 4 carbon atoms in the alkyl groups,

R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, represents [in each case optionally] unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having [in each case] up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having [in each case] up to 4 carbon atoms in the alkyl groups, and

Z represents one of the heterocyclic groupings below







in which the bond drawn broken in each case denotes a single bond or a double bond,

Q represents oxygen or sulphur,

R<sup>5</sup> represents hydrogen, hydroxyl, mercapto, cyano, halogen, or represents [in each case optionally] unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkylcarbonyl, alkoxy, alkoxy carbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case up to 6 carbon atoms in the alkyl groups, or represents [in each case optionally] unsubstituted or halogen-substituted alkylamino or dialkylamino having in each case up to 6 carbon atoms in the alkyl groups, or represents [in each case optionally] unsubstituted or halogen-substituted alkenyl, alkynyl, alkenyloxy, alkenylthio or alkenylamino having in each case up to 6 carbon atoms in the alkenyl or alkynyl groups, or represents [in each case optionally] unsubstituted or halogen-substituted cycloalkyl, cycloalkylalkyl, cycloalkyloxy, cycloalkylthio or cycloalkylamino having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally up to 4 carbon atoms in the alkyl moiety, or represents [in each case optionally] unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenyl, phenyloxy, phenylthio, phenylamino, benzyl, benzyloxy, benzylthio or benzylamino, and

R<sup>6</sup> represents hydrogen, hydroxyl, amino, alkylideneamino having up to 4 carbon atoms, or represents [in each case optionally] unsubstituted or halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl, alkoxy, alkylamino, dialkylamino or alkanoylamino having in each case up to 6 carbon atoms in the alkyl groups, or represents [in each case optionally] unsubstituted or halogen-substituted alkenyl, alkynyl or alkenyloxy having in each case up

to 6 carbon atoms in the alkenyl or alkynyl groups, or represents [in each case optionally] unsubstituted or halogen-substituted cycloalkyl, cycloalkylalkyl or cycloalkylamino having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally up to 3 carbon atoms in the alkyl moiety, or represents [in each case optionally] unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenyl or benzyl, or together with an adjacent radical R<sup>5</sup> or R<sup>6</sup> represents [optionally] unsubstituted or halogen- or C<sub>1</sub>-C<sub>4</sub>-alkyl-substituted alkanediyl having 3 to 5 carbon atoms, or - in the case that two adjacent radicals R<sup>5</sup> and R<sup>5</sup> are located at a double bond - together with the adjacent radical R<sup>5</sup> also represents a benzo grouping.

3. Substituted benzoylcyclohexanediones according to Claim 1,  
[characterized in that] wherein:

m represents the numbers 0, 1 or 2,

n represents the numbers 0, 1 or 2,

A represents a single bond, methylene, ethylidene (ethane-1,1-diyl) or dimethylene (ethane-1,2-diyl),

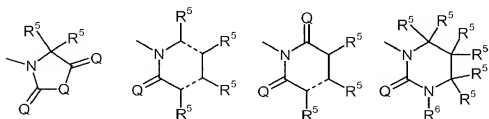
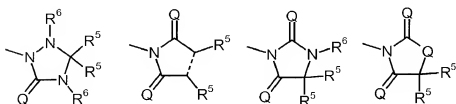
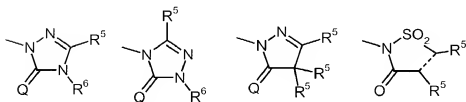
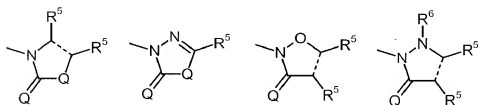
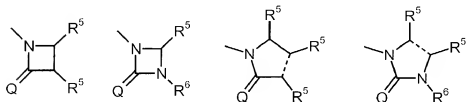
R<sup>1</sup> represents hydrogen, or represents [in each case optionally] unsubstituted or fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-pro-poxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i- or s-butyl, or represents methoxycarbonyl, ethoxy-carbonyl, n- or i-propoxycarbonyl,

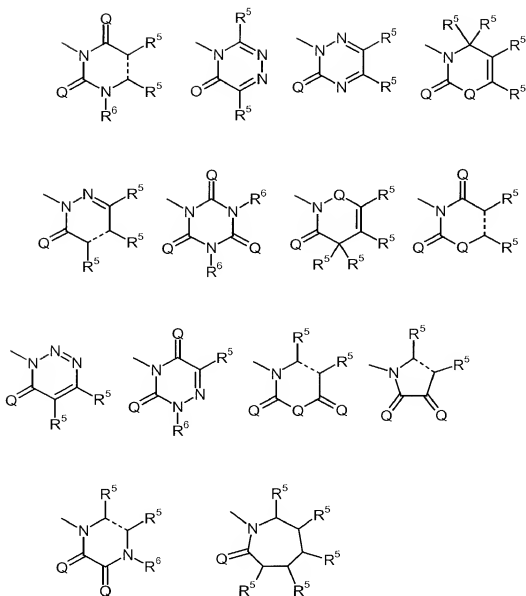
R<sup>2</sup> represents methyl, ethyl, n- or i-propyl, or together with R<sup>1</sup> represents methylene, ethane-1,1-diyl (ethylidene, -CH(CH<sub>3</sub>)-), ethane-1,2-diyl (dimethylene, -CH<sub>2</sub>CH<sub>2</sub>-), propane-1,3-diyl (trimethylene, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-), butane-1,4-diyl (tetramethylene, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-) or

- pentane-1,5-diyl (pentamethylene,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-$ ), where in this case m represents 1 and  $\text{R}^1$  and  $\text{R}^2$  are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal"),
- $\text{R}^3$  represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, fluorine, chlorine, bromine, or represents [in each case optionally] unsubstituted or fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, or represents [in each case optionally] unsubstituted or fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methoxy, ethoxy, n- or i-propoxy, or represents [in each case optionally] unsubstituted or fluorine- and/or chlorine-substituted methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, dimethylaminosulphonyl or diethylaminosulphonyl,
- $\text{R}^4$  represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, fluorine, chlorine, bromine, or represents [in each case optionally] unsubstituted or fluorine-, [and/or] chlorine-, fluorine and chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, or represents [in each case optionally] unsubstituted or fluorine-, [and/or] chlorine-, fluorine and chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methoxy, ethoxy, n- or i-propoxy, represents in each case optionally fluorine- and/or chlorine-substituted methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents methylamino, ethylamino, n- or i-propylamino, dimethylamino,

diethylamino, dimethylaminosulphonyl or diethylaminosulphonyl, and

Z represents one of the heterocyclic groupings below





in which the bond drawn broken in each case denotes a single bond or a double bond,

Q represents oxygen or sulphur,

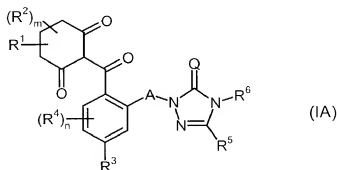
R<sup>5</sup> represents hydrogen, hydroxyl, mercapto, cyano, fluorine, chlorine, bromine, iodine, or represents [in each case optionally] unsubstituted or fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, methylthio-, ethylthio-, n- or i-propylthio-, n-, i-, s- or t-butylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-,

ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, methylthio, ethylthio, n- or i-propylthio, n-, i-, s- or t-butylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, represents methylamino, ethylamino, n- or i-propylamino, n-, i-, s- or t-butylamino, dimethylamino, diethylamino, di-n-propylamino or di-i-propylamino, or represents [in each case optionally] unsubstituted or fluorine-, [and/or] chlorine-, or fluorine and chlorine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl, butinyl, propenyloxy, butenyloxy, propenylthio, butenylthio, propenylamino or butenylamino, or represents [in each case optionally] unsubstituted or fluorine-, [and/or] chlorine-, or fluorine and chlorine-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, cyclopropylthio, cyclobutylthio, cyclopentylthio, cyclohexylthio, cyclopropylamino, cyclobutylamino, cyclopentylamino or cyclohexylamino, or represents [in each case optionally] unsubstituted or fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, methoxy-, ethoxy-, n- or i-propoxy-substituted phenyl, phenyloxy, phenylthio, phenylamino, benzyl, benzyloxy, benzylthio or benzylamino, and

R<sup>6</sup> represents hydrogen, hydroxyl, amino, or represents [in each case optionally] unsubstituted or fluorine-, [and/or] chlorine-, or fluorine and chlorine-, methoxy-, or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i- or s-butyl, methoxy, ethoxy, n- or i-propoxy, methylamino, ethylamino or dimethylamino, or represents [in each case optionally] unsubstituted or fluorine-, [and/or] chlorine-, or fluorine and chlorine-substituted ethenyl,

propenyl, ethinyl, propinyl or propenyloxy, or represents [in each case optionally] unsubstituted or fluorine-, [and/or] chlorine-, or fluorine and chlorine-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, or represents [in each case optionally] unsubstituted or fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, methoxy-, ethoxy-, n- or i-propoxy-substituted phenyl or benzyl, or together with an adjacent radical  $R^5$  or  $R^6$  represents [in each case optionally] unsubstituted or methyl- and/or ethyl-substituted propane-1,3-diyl (trimethylene) or butane-1,4-diyl (tetramethylene), or - in the case that two adjacent radicals  $R^5$  and  $R^5$  are located at a double bond - together with the adjacent radical  $R^5$  also represents a benzo grouping.

4. Substituted benzoylcyclohexanediones according to Claim 1, [characterized by the general] having the formula (IA),

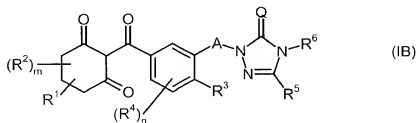


in which

- m represents the numbers 0, 1 or 2,  
 n represents the numbers 0, 1 or 2,  
 A represents a single bond or represents methylene,  
 Q represents oxygen or sulphur,  
 $R^1$  represents hydrogen, methyl, ethyl, n- or i-propyl,



- R<sup>2</sup> represents methyl,
- R<sup>3</sup> represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylaminosulphonyl,
- R<sup>4</sup> represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylaminosulphonyl,
- R<sup>5</sup> represents methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents cyclopropyl, and
- R<sup>6</sup> represents methyl, ethyl, methoxy, ethoxy or cyclopropyl.
5. Substituted benzoylcyclohexanediones according to Claim 1, [characterized by the general] having the formula (IB),



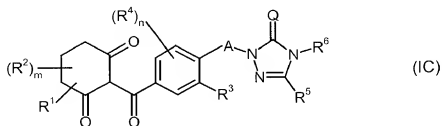
[in which]

in which

m represents the numbers 0, 1 or 2,

n represents the numbers 0, 1 or 2,

- A represents a single bond or represents methylene,  
 Q represents oxygen or sulphur,  
 R<sup>1</sup> represents hydrogen, methyl, ethyl, n- or i-propyl,  
 R<sup>2</sup> represents methyl,  
 R<sup>3</sup> represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,  
 R<sup>4</sup> represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylaminosulphonyl,  
 R<sup>5</sup> represents methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents cyclopropyl, and  
 R<sup>6</sup> represents methyl, ethyl, methoxy, ethoxy or cyclopropyl.
6. Substituted benzoylcyclohexanediones according to Claim 1, [characterized by the general] having the formula (IC),

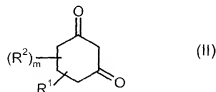


in which

- m represents the numbers 0, 1 or 2,  
 n represents the numbers 0, 1 or 2,  
 A represents a single bond or represents methylene,  
 Q represents oxygen or sulphur,  
 R<sup>1</sup> represents hydrogen, methyl, ethyl, n- or i-propyl,  
 R<sup>2</sup> represents methyl,  
 R<sup>3</sup> represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,  
 R<sup>4</sup> represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylaminosulphonyl,  
 R<sup>5</sup> represents methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents cyclopropyl, and  
 R<sup>6</sup> represents methyl, ethyl, methoxy, ethoxy or cyclopropyl.

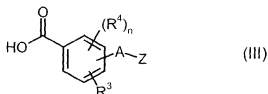
7. Substituted benzoylcyclohexanediones according to [any of Claims 1 to 6, characterized in that] Claim 1, wherein the salts are the sodium, potassium, magnesium, calcium, ammonium, C<sub>1</sub>-C<sub>4</sub>-alkyl-ammonium, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tetra-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-sulphonium, C<sub>5</sub>- or C<sub>6</sub>-cycloalkyl-ammonium and di-(C<sub>1</sub>-C<sub>2</sub>-alkyl)-benzyl-ammonium salts.

8. [Process] A process for preparing substituted benzoylcyclohexanediones according to [any of Claims 1 to 6, characterized in that] Claim 1, comprising the step of reacting 1,3-cyclohexanedione or its derivatives of the [general] formula (II),



in which

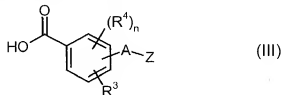
$m$ ,  $R^1$  and  $R^2$  are each as defined in [any of Claims 1 to 6] Claim 1 [are reacted] with substituted benzoic acids of the [general] formula [Formel] (III),



in which

$n$ ,  $A$ ,  $R^3$ ,  $R^4$  and  $Z$  are each as defined in [any of Claims 1 to 6] Claim 1, in the presence of a dehydrating agent to obtain a product [, if appropriate in the presence of one or more reaction auxiliaries and if appropriate in the presence of a diluent, and, if appropriate, the compounds of the formula (I) obtained in this manner are subsequently subjected in a customary manner, within the scope of the definition of the substituents, to electrophilic or nucleophilic or oxidation or reduction reactions, or the compounds of the formula (I) are converted in a customary manner into salts].

9. Substituted benzoic acids of the [general] formula (III),



in which

n, A, R<sup>3</sup>, R<sup>4</sup> and Z are each as defined in [any of Claims 1 to 6] Claim 1, except for the compounds 2-(5-carboxy-2,4-dichloro-phenyl)-4-difluoromethyl-5-methyl-2,4-dihydro-3H-1,2,4-triazol-3-one and 2-(5-carboxy-2,4-dichloro-phenyl)-4,5-dimethyl-2,4-dihydro-3H-1,2,4-triazol-3-one.

10. A method of controlling undesirable plants, comprising the step of applying [Use of at least] one or more substituted benzoylcyclohexanedione according to [any of Claims 1 to 6] Claim 1 [for controlling] to undesirable plants or their habitats.

11. Herbicidal compositions, characterized in that they contain [at least] one or more substituted benzoylcyclohexanedione according to [any of Claims 1 to 6] Claim 1 and [customary] an extender[s].

Please add the following claims:

- 12. Substituted benzoylcyclohexanediones according to Claim 1, wherein:  
Z represents an unsubstituted or substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping which contains 1 to 4 heteroatoms selected from the group consisting of nitrogen, oxygen or sulphur, SO, SO<sub>2</sub> or mixtures thereof.
13. Substituted benzoylcyclohexanediones according to Claim 1, wherein:  
Z represents an unsubstituted or substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping which contains which contains 1 to 4 nitrogen.
14. Substituted benzoylcyclohexanediones according to Claim 1, wherein:  
Z represents an unsubstituted or substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping

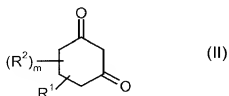
which contains one heteroatom selected from the group consisting of oxygen, sulphur atom, SO and SO<sub>2</sub>.

15. Substituted benzoylcyclohexanediones according to Claim 1, wherein:
  - A represents a single bond or represents alkylene having 1 to 4 carbon atoms,
  - R<sup>1</sup> represents hydrogen, or represents unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl having 1 to 6 carbon atoms or represents alkoxycarbonyl having up to 6 carbon atoms,
  - R<sup>2</sup> represents unsubstituted or halogen-substituted alkyl having 1 to 6 carbon atoms, or together with R<sup>1</sup> represents alkylene having 2 to 5 carbon atoms, where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom or at two adjacent carbon atoms,
  - R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having up to 4 carbon atoms in the alkyl groups, and
  - R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, represents unsubstituted or halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having up to 4 carbon atoms in the alkyl groups.
16. A process according to Claim 8, wherein the step of reacting the 1,3-cyclohexanedione or its derivatives with the substituted benzoic acids occurs in the

presence of an ingredient selected from the group consisting of reaction auxiliaries, diluents and mixtures thereof.

17. A process according to Claim 8, further comprising the step of subjecting the product to a reaction selected from to group consisting of electrophilic reactions, nucleophilic reactions, oxidation reactions, reduction reactions, and conversions to salts.

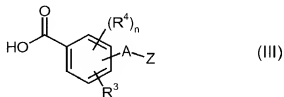
18. A process for preparing substituted benzoylcyclohexanediones according to Claim 1, comprising the step of reacting 1,3-cyclohexanedione or its derivatives of the formula (II),



in which

m, R<sup>1</sup> and R<sup>2</sup> are each as defined in Claim 1,

with a derivative of a substituted benzoic acid of the formula (III),



in which

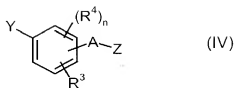
n, A, R<sup>3</sup>, R<sup>4</sup> and Z are each as defined Claim 1,

in the presence of a dehydrating agent to obtain a product;

wherein the derivative of the substituted benzoic acid is selected from the group consisting of carbonyl chlorides, carboxylic anhydrides, carboxylic acid cyanides, methyl carboxylates and ethyl carboxylates.

19. A process according to Claim 8, further comprising the step of preparing the substituted benzoic acids of the formula (III) by:

(a) reacting water and a compound of the formula (IV),

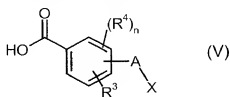


in which

n, A, R<sup>3</sup> and R<sup>4</sup> and Z are as defined in Claim 1, and

Y represents cyano, carbamoyl, halogenocarbamoyl or alkoxycarbonyl,  
or

(b) reacting a halogeno(alkyl)benzoic acid of the formula (V),



in which

n, A, R<sup>3</sup> and R<sup>4</sup> are as defined in Claim 1 and

X represents halogen

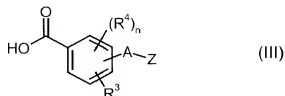
with a compound of the formula (VI)



in which

Z is as defined in Claim 1.

20. Substituted benzoic acids of the formula (III),



in which

n represents the numbers 0, 1, 2 or 3,

A represents the single bond or represents alkylene,



- R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents unsubstituted or substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl,
- R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents unsubstituted or substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl, and
- Z represents an unsubstituted or substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping which contains 1 to 4 heteroatoms, and which additionally contains one to three groups selected from oxo groups (C=O), thioxo groups (C=S) and mixtures thereof as components of the heterocycle;
- with the proviso that 2-(5-carboxy-2,4-dichloro-phenyl)-4-difluoromethyl-5-methyl-2,4-dihydro-3H-1,2,4-triazol-3-one and 2-(5-carboxy-2,4-dichloro-phenyl)-4,5-dimethyl-2,4-dihydro-3H-1,2,4-triazol-3-one are excluded.--

#### **REMARKS**

By present amendment the claims have been amended to present the claims in accordance with customary U.S. practice, care having been exercised to avoid any introduction of new matter.

Claims 1-11 have been amended as to form and to remove multiple dependencies. Claims 12-20 have been added. Support for Claims 12-14 can be found in original Claim 1, while support for Claim 15 can be found in original Claim 2 and support for Claims 16-17 can be found in original Claim 8. Support for Claim 18 can be found in the specification on page 48, lines 21-25. While support for Claim 19 can be found on page 51, line 6-page 52, line 19 and support for Claim 20 can be found on page 50, line 21-page 51, line 4.

The specification has been amended to include section headings in accordance with customary U.S. practice, and to include a Summary of the

09/743876

528 Rec'd PCT/PTO 17 JAN 2001

Invention. Support for the amendment to the specification can be found in original Claim 1 and on page 1, line 11-page 2, line 22.

The amendments to the claims and the specification do not involve any introduction of new matter, whereby entry is believed to be in order and is respectively requested.

Respectfully submitted,

HANS-GEORG SCHWARZ  
KLAUS-HELMUT MÜLLER  
STEFAN LEHR  
OTTO SCHALLNER  
MARK WILHELM DREWES  
DIETER FEUCHT  
ROLF PONTZEN  
INGO WETCHOLOWSKY  
HEINZ-JÜRGEN WROBLOWSKY

By \_\_\_\_\_

Jackie A. Zurcher  
Attorney for Applicants  
Reg. No. 42,251

Bayer Corporation  
100 Bayer Road  
Pittsburgh, Pennsylvania 15205-9741  
(412) 777-5682  
FACSIMILE PHONE NUMBER:  
(412) 777-5449

/jme/JAZ0009

I hereby certify that this paper or fee is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 on the date indicated above and is addressed to the Assistant Commissioner of Patents and Trademarks, Washington, D.C. 20231

Donna J. Veatch

(Name of person mailing paper or fee)

*Donna J. Veatch*

(Signature of person mailing paper or fee)

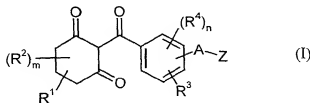
**Substituted benzoylcyclohexanediones**

**528 Rec'd PCT/PTO 17 JAN 2001**

The invention relates to novel substituted benzoylcyclohexanediones, to processes for their preparation and to their use as herbicides.

It is already known that certain substituted benzoylcyclohexanediones have herbicidal properties (cf. EP-A-090262, EP-A-135191, EP-A-186118, EP-A-186119, EP-A-186120, EP-A-319075, WO-A-96/26200, WO-A-97/46530, WO-A-99/07688). However, the activity of these compounds is not in all respects satisfactory.

This invention, accordingly, provides the novel substituted benzoylcyclohexanediones of the general formula (I),



in which

m represents the numbers 0, 1, 2 or 3,

n represents the numbers 0, 1, 2 or 3,

A represents the single bond or represents alkanediyl (alkylene),

R<sup>1</sup> represents hydrogen or represents in each case optionally substituted alkyl or alkoxy carbonyl,

R<sup>2</sup> represents optionally substituted alkyl, or together with R<sup>1</sup> represents alkanediyl (alkylene) where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are

- 2 -

located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal"),

5           R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents in each case optionally substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl,

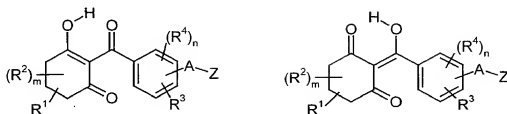
10          R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents in each case optionally substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl, and

15          Z represents an optionally substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping which contains 1 to 4 heteroatoms (up to 4 nitrogen atoms and, if appropriate, - alternatively or additionally - one oxygen atom or one sulphur atom, or one SO grouping or one SO<sub>2</sub> grouping), and which additionally contains one to three oxo groups (C=O) and/or thioxo groups (C=S) as components of the heterocycle,

20          - including all possible tautomeric forms of the compounds of the general formula (I) and the possible salts of the compounds of the general formula (I).

25          In the definitions, the hydrocarbon chains, such as alkyl or alkanediyl, are in each case straight-chain or branched - including in combination with heteroatoms, such as in alkoxy.

30          In addition to the compounds of the general formula (I) - above - it is in each case also possible for the corresponding tautomeric forms - shown in exemplary manner below - to be present.



Preferred substituents of the radicals listed in the formula shown above are illustrated below:

5

m preferably represents the numbers 0, 1 or 2.

n preferably represents the numbers 0, 1 or 2.

10

A preferably represents a single bond or represents alkanediyl (alkylene) having 1 to 4 carbon atoms.

R<sup>1</sup> preferably represents hydrogen, represents optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl having 1 to 6 carbon atoms or represents alkoxy-carbonyl having up to 6 carbon atoms.

15

R<sup>2</sup> preferably represents optionally halogen-substituted alkyl having 1 to 6 carbon atoms, or together with R<sup>1</sup> represents alkanediyl (alkylene) having 2 to 5 carbon atoms, where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal").

20

R<sup>3</sup> preferably represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thio-carbamoyl, halogen, represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having

25

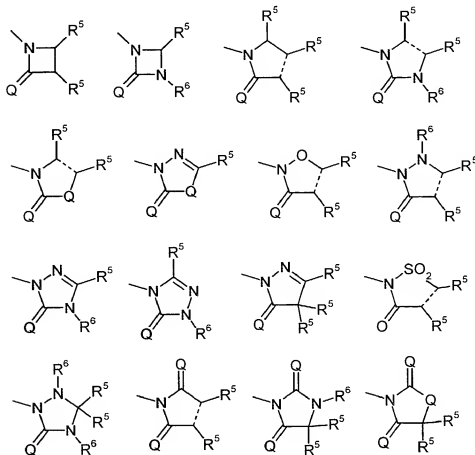
- 4 -

in each case up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having in each case up to 4 carbon atoms in the alkyl groups.

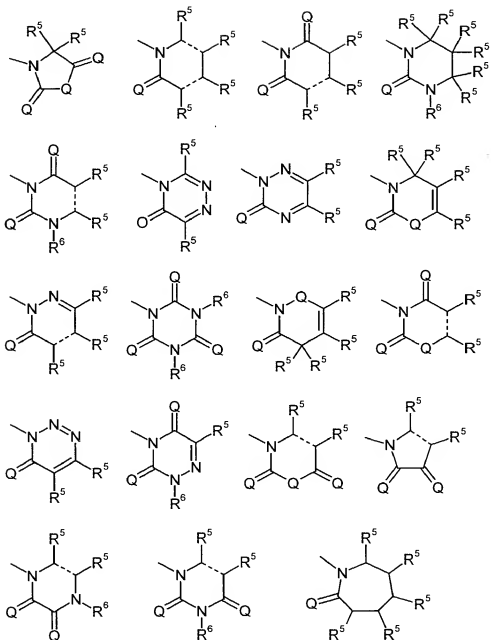
- 5 R<sup>4</sup> preferably represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having in each case up to 4 carbon atoms in the alkyl groups.

10

- Z preferably represents one of the heterocyclic groupings below



- 5 -



in which the bond drawn broken in each case denotes a single bond or a double bond,

Q represents oxygen or sulphur,

5

R<sup>5</sup> represents hydrogen, hydroxyl, mercapto, cyano, halogen, represents in each case optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-

- alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkylcarbonyl, alkoxy, alkoxycarbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case up to 6 carbon atoms in the alkyl groups, represents propadienylthio, represents in each case optionally halogen-substituted alkylamino or dialkylamino having in each case up to 6 carbon atoms in the alkyl groups, represents in each case optionally halogen-substituted alkenyl, alkynyl, alkenyloxy, alkenylthio or alkenylamino having in each case up to 6 carbon atoms in the alkenyl or alkynyl groups, represents in each case optionally halogen-substituted cycloalkyl, cycloalkyloxy, cycloalkylthio, cycloalkylamino, cycloalkylalkyl, cycloalkylalkoxy, cycloalkylalkylthio or cycloalkylalkylamino having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally up to 4 carbon atoms in the alkyl moiety, or represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenyl, phenyloxy, phenylthio, phenylamino, benzyl, benzyloxy, benzylthio or benzylamino, represents pyrrolidino, piperidino or morpholino, or - in the case that two adjacent radicals R<sup>5</sup> and R<sup>5</sup> are located at a double bond - together with the adjacent radical R<sup>5</sup> also represents a benzo grouping, and
- R<sup>6</sup> represents hydrogen, hydroxyl, amino, alkylideneamino having up to 4 carbon atoms, represents in each case optionally halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl, alkoxy, alkylamino, dialkylamino or alkanoylamino having in each case up to 6 carbon atoms in the alkyl groups, represents in each case optionally halogen-substituted alkenyl, alkynyl or alkenyloxy having in each case up to 6 carbon atoms in the alkenyl or alkynyl groups, represents in each case optionally halogen-substituted cycloalkyl, cycloalkylalkyl or cycloalkylamino having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally up to 3 carbon atoms in the alkyl moiety, or represents in each case



optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenyl or benzyl, or together with an adjacent radical R<sup>5</sup> or R<sup>6</sup> represents optionally halogen- or C<sub>1</sub>-C<sub>4</sub>-alkyl-substituted alkanediyl having 3 to 5 carbon atoms,

5

where the individual radicals R<sup>5</sup> and R<sup>6</sup> - if two or more of them are attached to the same heterocyclic groupings, may have identical or different meanings in the context of the above definition.

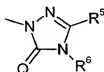
10 A particularly preferably represents a single bond, methylene, ethylidene (ethane-1,1-diyl) or dimethylene (ethane-1,2-diyl).

R<sup>1</sup> particularly preferably represents hydrogen, represents in each case optionally  
15 fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i- or s-butyl, or represents methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl.

20 R<sup>2</sup> particularly preferably represents methyl, ethyl, n- or i-propyl, or together with R<sup>1</sup> represents methylene, ethane-1,1-diyl (ethylidene, -CH(CH<sub>3</sub>)-), ethane-1,2-diyl (dimethylene, -CH<sub>2</sub>CH<sub>2</sub>-), propane-1,3-diyl (trimethylene, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-), butane-1,4-diyl (tetramethylene, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-) or  
25 pentane-1,5-diyl (pentamethylene, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-), where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal").

R<sup>3</sup> particularly preferably represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, fluorine, chlorine, bromine, iodine, represents in  
30 each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-,

- ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methoxy, ethoxy, n- or i-propoxy, represents in each case optionally fluorine- and/or chlorine-substituted methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, dimethylaminosulphonyl or diethylaminosulphonyl.
- 10 R<sup>4</sup> particularly preferably represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, fluorine, chlorine, bromine, represents in each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methoxy, ethoxy, n- or i-propoxy, represents in each case optionally fluorine- and/or chlorine-substituted methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, dimethylaminosulphonyl or diethylaminosulphonyl.
- 25 Z particularly preferably represents the heterocyclic grouping below



R<sup>5</sup> particularly preferably represents hydrogen, hydroxyl, mercapto, cyano, fluorine, chlorine, bromine, iodine, represents in each case optionally fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, methylthio-, ethylthio-, n- or i-propylthio-, n-, i-, s- or t-butylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, methylthio, ethylthio, n- or i-propylthio, n-, i-, s- or t-butylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, represents methylamino, ethylamino, n- or i-propylamino, n-, i-, s- or t-butylamino, dimethylamino, diethylamino, di-n-propylamino or di-i-propylamino, represents in each case optionally fluorine- and/or chlorine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl, butinyl, propenyloxy, butenyloxy, propenylthio, butenylthio, propenylamino or butenylamino, represents in each case optionally fluorine- and/or chlorine-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, cyclopropylthio, cyclobutylthio, cyclopentylthio, cyclohexylthio, cyclopropylamino, cyclobutylamino, cyclopentylamino, cyclohexylamino, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy, cyclohexylmethoxy, cyclopropylmethylthio, cyclobutylmethylthio, cyclopentylmethylthio, cyclohexylmethylthio, cyclopropylmethylamino, cyclobutylmethylamino, cyclopentylmethylamino or cyclohexylmethylamino, or represents in each case optionally fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, methoxy-, ethoxy-, n- or i-propoxy-substituted phenyl, phenyloxy, phenylthio, phenylamino, benzyl, benzyloxy, benzylthio or benzylamino, or - in the case that two adjacent radicals R<sup>5</sup> and R<sup>5</sup> are located at a double bond - together with the adjacent radical R<sup>5</sup> also represents a benzo grouping,

R<sup>6</sup> particularly preferably represents hydrogen, hydroxyl, amino, represents in each case optionally fluorine- and/or chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i- or s-butyl, methoxy, ethoxy, n- or i-propoxy, methylamino, ethylamino or dimethylamino, represents in each case optionally fluorine- and/or chlorine-substituted ethenyl, propenyl, ethinyl, propinyl or propenyloxy, represents in each case optionally fluorine- and/or chlorine-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, or represents in each case optionally fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, methoxy-, ethoxy-, n- or i-propoxy-substituted phenyl or benzyl, or together with an adjacent radical R<sup>5</sup> or R<sup>6</sup> represents in each case optionally methyl- and/or ethyl-substituted propane-1,3-diyl (trimethylene), butane-1,4-diyl (tetramethylene) or pentane-1,5-diyl (pentamethylene),

15

where the individual radicals R<sup>5</sup> and R<sup>6</sup> - if two or more of them are attached to the same heterocyclic groupings, may have identical or different meanings in the context of the above definition.

20 A very particularly preferably represents a single bond or represents methylene.

R<sup>1</sup> very particularly preferably represents hydrogen, methyl, ethyl, n- or i-propyl.

R<sup>2</sup> very particularly preferably represents methyl.

25

R<sup>3</sup> very particularly preferably represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, iodine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylaminosulphonyl.

30

- 5 R<sup>4</sup> very particularly preferably represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoro-  
methoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethyl-  
sulphinyl, methylsulphonyl, ethylsulphonyl or dimethylaminosulphonyl.
- 10 R<sup>5</sup> very particularly preferably represents hydrogen, hydroxyl, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloro-  
methyl, trifluoromethyl, trichloromethyl, chlorodifluoromethyl, fluorodi-  
chloromethyl, fluoroethyl, chloroethyl, difluoroethyl, dichloroethyl, fluoro-n-  
propyl, fluoro-i-propyl, chloro-n-propyl, chloro-i-propyl, methoxymethyl,  
ethoxymethyl, methoxyethyl, ethoxyethyl, methoxy, ethoxy, n- or i-propoxy,  
15 n-, i-, s- or t-butoxy, fluoroethoxy, chloroethoxy, difluoroethoxy, dichloro-  
ethoxy, trifluoroethoxy, trichloroethoxy, chlorofluoroethoxy, chlorodifluoro-  
ethoxy, fluorodichloroethoxy, methylthio, ethylthio, n- or i-propylthio,  
fluoroethylthio, chloroethylthio, difluoroethylthio, dichloroethylthio, chloro-  
fluoroethylthio, chlorodifluoroethylthio, fluorodichloroethylthio, methyl-  
sulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethyl-  
sulphonyl, n- or i-propylsulphonyl, dimethylamino, propenylthio, butenylthio,  
20 propinylthio, butinylthio, cyclopropyl, cyclopropylmethyl, cyclopropylmeth-  
oxy, phenyl or phenoxy.
- 25 R<sup>6</sup> very particularly preferably represents amino, methyl, ethyl, n- or i-propyl, n-,  
i-, s- or t-butyl, methoxy, ethoxy, methylamino, dimethylamino, cyclopropyl  
or cyclopropylmethyl, or together with R<sup>5</sup> represents propane-1,3-diyl  
(trimethylene), butane-1,4-diyl (tetramethylene) or pentane-1,5-diyl (penta-  
methylene).
- 30 A most preferably represents methylene.

- 12 -

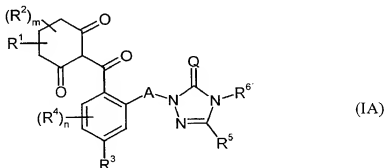
The invention preferably provides the sodium, potassium, magnesium, calcium, ammonium, C<sub>1</sub>-C<sub>4</sub>-alkyl-ammonium-, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium-, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium-, tetra-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-sulphonium, C<sub>5</sub>- or C<sub>6</sub>-cycloalkyl-ammonium and di-(C<sub>1</sub>-C<sub>2</sub>-alkyl)-benzyl-ammonium salts of the compounds of the formula (I), in which m, n, A, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and Z are each as defined above.

Preference according to the invention is given to compounds of the formula (I) which contain a combination of the meanings mentioned above as being preferred.

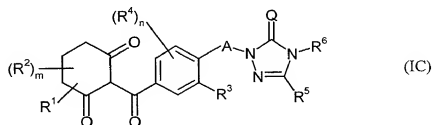
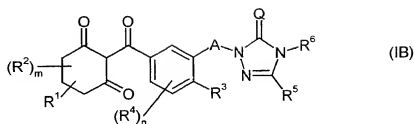
Particular preference according to the invention is given to compounds of the formula (I) which contain a combination of the meanings listed above as being particularly preferred.

Very particular preference according to the invention is given to the compounds of the formula (I) which contain a combination of the meanings listed above as being very particularly preferred.

Compounds of the general formulae (IA), (IB) and (IC) below are particularly emphasized as being according to the invention:



- 13 -



in which

m represents the numbers 0, 1 or 2,

5

n represents the numbers 0, 1 or 2,

A particularly preferably represents a single bond or represents methylene,

10

Q represents oxygen or sulphur,

R<sup>1</sup> represents hydrogen, methyl, ethyl, n- or i-propyl,

R<sup>2</sup> represents methyl,

15

R<sup>3</sup> represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, iodine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylaminosulphonyl,

20

- 14 -

- 5 R<sup>4</sup> represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoro-  
methoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methyl-  
sulphonyl, ethylsulphonyl or dimethylaminosulphonyl,
- 10 R<sup>5</sup> represents hydrogen, hydroxyl, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, difluoromethyl, dichloromethyl, trifluoromethyl, trichloromethyl, chlorodifluoromethyl, fluorodichloromethyl, fluoroethyl, chloroethyl, difluoroethyl, dichloroethyl, fluoro-n-propyl, fluoro-i-propyl, chloro-n-propyl, chloro-i-propyl, methoxymethyl, ethoxymethyl, methoxy-  
ethyl, ethoxyethyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, fluoroethoxy, chloroethoxy, difluoroethoxy, dichloroethoxy, trifluoroethoxy,  
15 trichloroethoxy, chlorofluoroethoxy, chlorodifluoroethoxy, fluorodichloro-  
ethoxy, methylthio, ethylthio, n- or i-propylthio, fluoroethylthio, chloroethyl-  
thio, difluoroethylthio, dichloroethylthio, chlorofluoroethylthio, chlorodifluo-  
roethylthio, fluorodichloroethylthio, methylsulphinyl, ethylsulphinyl, n- or i-  
propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl,  
20 dimethylamino, propenylthio, butenylthio, propinylthio, butinylthio, cyclo-  
propyl, cyclopropylmethyl, cyclopropylmethoxy, phenyl or phenoxy, and
- 25 R<sup>6</sup> represents amino, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, methylamino, dimethylamino, cyclopropyl or cyclopropylmethyl, or together with R<sup>5</sup> represents propane-1,3-diyl (trimethylene), butane-1,4-diyl (tetramethylene) or pentane-1,5-diyl (pentamethylene).

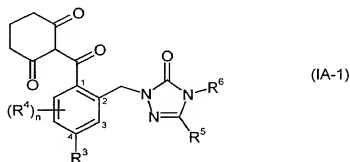
Here, very particular emphasis is given to the compounds of the formula (IA) in which A represents methylene.



The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and also, correspondingly, to the starting materials or intermediates required in each case for the preparation. These radical definitions can be combined with one another at will, i.e. including combinations between the given preferred ranges.

Examples of compounds of the general formula (I) according to the invention are listed in the groups below.

#### 10 Group 1




Here,  $R^3$ ,  $(R^4)_n$ ,  $R^5$  and  $R^6$  each have, for example, the meanings given in the table below:














15

$R^3$	(position-) $(R^4)_n$	$R^5$	$R^6$
H	-	$CF_3$	$CH_3$
F	-	$CF_3$	$CH_3$
Cl	-	$CF_3$	$CH_3$
Br	-	$CF_3$	$CH_3$
I	-	$CF_3$	$CH_3$
$NO_2$	-	$CF_3$	$CH_3$
CN	-	$CF_3$	$CH_3$
$CH_3$	-	$CF_3$	$CH_3$
$OCH_3$	-	$CF_3$	$CH_3$

R <sup>3</sup>	(position-)(R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>
CF <sub>3</sub>	-	CF <sub>3</sub>	CH <sub>3</sub>
OCHF <sub>2</sub>	-	CF <sub>3</sub>	CH <sub>3</sub>
OCF <sub>3</sub>	-	CF <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	-	CF <sub>3</sub>	CH <sub>3</sub>
H	-	OCH <sub>3</sub>	CH <sub>3</sub>
F	-	OCH <sub>3</sub>	CH <sub>3</sub>
Cl	-	OCH <sub>3</sub>	CH <sub>3</sub>
Br	-	OCH <sub>3</sub>	CH <sub>3</sub>
I	-	OCH <sub>3</sub>	CH <sub>3</sub>
NO <sub>2</sub>	-	OCH <sub>3</sub>	CH <sub>3</sub>
CN	-	OCH <sub>3</sub>	CH <sub>3</sub>
CH <sub>3</sub>	-	OCH <sub>3</sub>	CH <sub>3</sub>
OCH <sub>3</sub>	-	OCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	-	OCH <sub>3</sub>	CH <sub>3</sub>
OCHF <sub>2</sub>	-	OCH <sub>3</sub>	CH <sub>3</sub>
OCF <sub>3</sub>	-	OCH <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	-	OCH <sub>3</sub>	CH <sub>3</sub>
H	-	SCH <sub>3</sub>	CH <sub>3</sub>
F	-	SCH <sub>3</sub>	CH <sub>3</sub>
Cl	-	SCH <sub>3</sub>	CH <sub>3</sub>
Br	-	SCH <sub>3</sub>	CH <sub>3</sub>
I	-	SCH <sub>3</sub>	CH <sub>3</sub>
NO <sub>2</sub>	-	SCH <sub>3</sub>	CH <sub>3</sub>
CN	-	SCH <sub>3</sub>	CH <sub>3</sub>
CH <sub>3</sub>	-	SCH <sub>3</sub>	CH <sub>3</sub>
OCH <sub>3</sub>	-	SCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	-	SCH <sub>3</sub>	CH <sub>3</sub>
OCHF <sub>2</sub>	-	SCH <sub>3</sub>	CH <sub>3</sub>
OCF <sub>3</sub>	-	SCH <sub>3</sub>	CH <sub>3</sub>

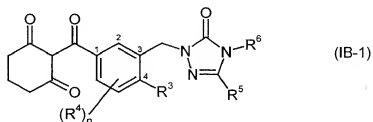
R <sup>3</sup>	(position-)(R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>
SO <sub>2</sub> CH <sub>3</sub>	-	SCH <sub>3</sub>	CH <sub>3</sub>
H	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
F	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
Cl	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
Br	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
I	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
NO <sub>2</sub>	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
CN	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
CH <sub>3</sub>	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
OCH <sub>3</sub>	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
CF <sub>3</sub>	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
OCHF <sub>2</sub>	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
OCF <sub>3</sub>	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	-	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
H	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
F	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
Cl	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
Br	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
I	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
NO <sub>2</sub>	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CN	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CH <sub>3</sub>	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
OCH <sub>3</sub>	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CF <sub>3</sub>	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
OCHF <sub>2</sub>	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
OCF <sub>3</sub>	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	-	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
H	-	OCH <sub>3</sub>	

- 18 -

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
F	-	OCH <sub>3</sub>	
Cl	-	OCH <sub>3</sub>	
Br	-	OCH <sub>3</sub>	
I	-	OCH <sub>3</sub>	
NO <sub>2</sub>	-	OCH <sub>3</sub>	
CN	-	OCH <sub>3</sub>	
CH <sub>3</sub>	-	OCH <sub>3</sub>	
OCH <sub>3</sub>	-	OCH <sub>3</sub>	
CF <sub>3</sub>	-	OCH <sub>3</sub>	
OCHF <sub>2</sub>	-	OCH <sub>3</sub>	
OCF <sub>3</sub>	-	OCH <sub>3</sub>	
SO <sub>2</sub> CH <sub>3</sub>	-	OCH <sub>3</sub>	
H	(3-) Cl	CF <sub>3</sub>	CH <sub>3</sub>
F	(3-) Cl	CH <sub>3</sub>	CH <sub>3</sub>
Cl	(3-) Cl	OCH <sub>3</sub>	CH <sub>3</sub>
Br	(3-) Cl	Br	

- 19 -

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(3-) Cl	CF <sub>3</sub>	CH <sub>3</sub>
NO <sub>2</sub>	(3-) Cl	CH <sub>3</sub>	CH <sub>3</sub>
Cl	(3-) Cl	SCH <sub>3</sub>	CH <sub>3</sub>
CH <sub>3</sub>	(3-) Cl	Cl	CH <sub>3</sub>
OCH <sub>3</sub>	(3-) Cl	OCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(3-) Cl	CF <sub>3</sub>	CH <sub>3</sub>
OCHF <sub>2</sub>	(3-) Cl	CH <sub>3</sub>	CH <sub>3</sub>
OCF <sub>3</sub>	(3-) Cl	CH <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(3-) Cl	OCH <sub>3</sub>	CH <sub>3</sub>







Group 2







5






Here  $R^3$ ,  $(R^4)_n$ ,  $R^5$  and  $R^6$  each have, for example, the meanings given in the table below:

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl	CF <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) Cl	SCH <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) Cl	SC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
Cl	(2-) Cl	SC <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>
Cl	(2-) Cl	SC <sub>3</sub> H <sub>7</sub> -i	CH <sub>3</sub>





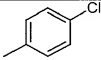


- 20 -






$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl	SCH=C=CH <sub>2</sub>	CH <sub>3</sub>
Cl	(2-) Cl	SCH <sub>2</sub> CN	CH <sub>3</sub>
Cl	(2-) Cl	SCH <sub>2</sub> CH <sub>2</sub> CN	CH <sub>3</sub>
Cl	(2-) Cl	OCH <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) Cl	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
Cl	(2-) Cl	OC <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>
Cl	(2-) Cl	OC <sub>3</sub> H <sub>7-i</sub>	CH <sub>3</sub>
Cl	(2-) Cl	OC <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>
Cl	(2-) Cl	OCH <sub>2</sub> CF <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl	OC <sub>6</sub> H <sub>5</sub>	CH <sub>3</sub>
Cl	(2-) Cl	H	CH <sub>3</sub>





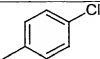

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl	CH <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub> -i	CH <sub>3</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -i	CH <sub>3</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -s	CH <sub>3</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -t	CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl	CH=CHCH <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
Cl	(2-) Cl		CH <sub>3</sub>
Cl	(2-) Cl	Cl	CH <sub>3</sub>
Cl	(2-) Cl	Br	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	CF <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	SCH <sub>3</sub>	CH <sub>3</sub>















$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
$SO_2CH_3$	(2-) Cl	$SC_2H_5$	$CH_3$
$SO_2CH_3$	(2-) Cl	$SC_3H_7$	$CH_3$
$SO_2CH_3$	(2-) Cl	$SC_3H_7-i$	$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl	$SCH=C=CH_2$	$CH_3$
$SO_2CH_3$	(2-) Cl	$SCH_2CN$	$CH_3$
$SO_2CH_3$	(2-) Cl	$SCH_2CH_2CN$	$CH_3$
$SO_2CH_3$	(2-) Cl	$OCH_3$	$CH_3$
$SO_2CH_3$	(2-) Cl	$OC_2H_5$	$CH_3$
$SO_2CH_3$	(2-) Cl	$OC_3H_7$	$CH_3$
$SO_2CH_3$	(2-) Cl	$OC_3H_7-i$	$CH_3$
$SO_2CH_3$	(2-) Cl	$OC_4H_9$	$CH_3$
$SO_2CH_3$	(2-) Cl	$OCH_2CF_3$	$CH_3$































$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl	$OC_6H_5$	$CH_3$
$SO_2CH_3$	(2-) Cl	H	$CH_3$
$SO_2CH_3$	(2-) Cl	$CH_3$	$CH_3$
$SO_2CH_3$	(2-) Cl	$C_2H_5$	$CH_3$
$SO_2CH_3$	(2-) Cl	$C_3H_7$	$CH_3$
$SO_2CH_3$	(2-) Cl	$C_3H_7-i$	$CH_3$
$SO_2CH_3$	(2-) Cl	$C_4H_9$	$CH_3$
$SO_2CH_3$	(2-) Cl	$C_4H_9-i$	$CH_3$
$SO_2CH_3$	(2-) Cl	$C_4H_9-s$	$CH_3$
$SO_2CH_3$	(2-) Cl	$C_4H_9-t$	$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl	$CH=CHCH_3$	$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$
$SO_2CH_3$	(2-) Cl	$N(CH_3)_2$	$CH_3$
$SO_2CH_3$	(2-) Cl		$CH_3$

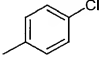

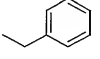


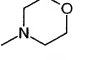








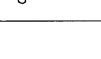

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
$SO_2CH_3$	(2-) Cl	Cl	$CH_3$
$SO_2CH_3$	(2-) Cl	Br	$CH_3$
Cl	(2-) $SO_2CH_3$	$CF_3$	$CH_3$
Cl	(2-) $SO_2CH_3$	$SCH_3$	$CH_3$
Cl	(2-) $SO_2CH_3$	$SC_2H_5$	$CH_3$
Cl	(2-) $SO_2CH_3$	$SC_3H_7$	$CH_3$
Cl	(2-) $SO_2CH_3$	$SC_3H_7-i$	$CH_3$
Cl	(2-) $SO_2CH_3$		$CH_3$
Cl	(2-) $SO_2CH_3$		$CH_3$
Cl	(2-) $SO_2CH_3$		$CH_3$
Cl	(2-) $SO_2CH_3$		$CH_3$
Cl	(2-) $SO_2CH_3$		$CH_3$
Cl	(2-) $SO_2CH_3$	$SCH=C=CH_2$	$CH_3$
Cl	(2-) $SO_2CH_3$	$CH_2CN$	$CH_3$
Cl	(2-) $SO_2CH_3$	$CH_2CH_2CN$	$CH_3$
Cl	(2-) $SO_2CH_3$	$OCH_3$	$CH_3$
Cl	(2-) $SO_2CH_3$	$OC_2H_5$	$CH_3$
Cl	(2-) $SO_2CH_3$	$OC_3H_7$	$CH_3$
Cl	(2-) $SO_2CH_3$	$OC_3H_7-i$	$CH_3$

















$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>6</sub> H <sub>5</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	H	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -i	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -i	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -s	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -t	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH=CHCH <sub>3</sub>	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	Cl	CH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	Br	CH <sub>3</sub>
Cl	(2-) Cl	CF <sub>3</sub>	
Cl	(2-) Cl	SCH <sub>3</sub>	
Cl	(2-) Cl	SC <sub>2</sub> H <sub>5</sub>	
Cl	(2-) Cl	SC <sub>3</sub> H <sub>7</sub>	
Cl	(2-) Cl	SC <sub>3</sub> H <sub>7</sub> -i	
Cl	(2-) Cl		
Cl	(2-) Cl		
Cl	(2-) Cl		
Cl	(2-) Cl		
















$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl		
Cl	(2-) Cl	SCH=C=CH <sub>2</sub>	
Cl	(2-) Cl	SCH <sub>2</sub> CN	
Cl	(2-) Cl	SCH <sub>2</sub> CH <sub>2</sub> CN	
Cl	(2-) Cl	OCH <sub>3</sub>	
Cl	(2-) Cl	OC <sub>2</sub> H <sub>5</sub>	
Cl	(2-) Cl	OC <sub>3</sub> H <sub>7</sub>	
Cl	(2-) Cl	OC <sub>3</sub> H <sub>7</sub> -i	
Cl	(2-) Cl	OC <sub>4</sub> H <sub>9</sub>	
Cl	(2-) Cl	OCH <sub>2</sub> CF <sub>3</sub>	
Cl	(2-) Cl		
Cl	(2-) Cl	OC <sub>6</sub> H <sub>5</sub>	


















$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl	H	
Cl	(2-) Cl	CH <sub>3</sub>	
Cl	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub> -i	
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub>	
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -i	
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -s	
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -t	
Cl	(2-) Cl		
Cl	(2-) Cl		
Cl	(2-) Cl	CH=CHCH <sub>3</sub>	
Cl	(2-) Cl		

















$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl		
Cl	(2-) Cl		
Cl	(2-) Cl	$N(CH_3)_2$	
Cl	(2-) Cl		
Cl	(2-) Cl	Cl	
Cl	(2-) Cl	Br	
$SO_2CH_3$	(2-) Cl	$CF_3$	
$SO_2CH_3$	(2-) Cl	$SCH_3$	
$SO_2CH_3$	(2-) Cl	$SC_2H_5$	
$SO_2CH_3$	(2-) Cl	$SC_3H_7$	
$SO_2CH_3$	(2-) Cl	$SC_3H_7-i$	
$SO_2CH_3$	(2-) Cl		















$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
$SO_2CH_3$	(2-) Cl		
$SO_2CH_3$	(2-) Cl		
$SO_2CH_3$	(2-) Cl		
$SO_2CH_3$	(2-) Cl		
$SO_2CH_3$	(2-) Cl	$SCH=C=CH_2$	
$SO_2CH_3$	(2-) Cl	$SCH_2CN$	
$SO_2CH_3$	(2-) Cl	$SCH_2CH_2CN$	
$SO_2CH_3$	(2-) Cl	$OCH_3$	
$SO_2CH_3$	(2-) Cl	$OC_2H_5$	
$SO_2CH_3$	(2-) Cl	$OC_3H_7$	
$SO_2CH_3$	(2-) Cl	$OC_3H_7-i$	
$SO_2CH_3$	(2-) Cl	$OC_4H_9$	



























$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
$SO_2CH_3$	(2-) Cl	$OCH_2CF_3$	
$SO_2CH_3$	(2-) Cl		
$SO_2CH_3$	(2-) Cl	$OC_6H_5$	
$SO_2CH_3$	(2-) Cl	H	
$SO_2CH_3$	(2-) Cl	$CH_3$	
$SO_2CH_3$	(2-) Cl	$C_2H_5$	
$SO_2CH_3$	(2-) Cl	$C_3H_7$	
$SO_2CH_3$	(2-) Cl	$C_3H_7-i$	
$SO_2CH_3$	(2-) Cl	$C_4H_9$	
$SO_2CH_3$	(2-) Cl	$C_4H_9-i$	
$SO_2CH_3$	(2-) Cl	$C_4H_9-s$	
$SO_2CH_3$	(2-) Cl	$C_4H_9-t$	
$SO_2CH_3$	(2-) Cl		







$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
$\text{SO}_2\text{CH}_3$	(2-) Cl		
$\text{SO}_2\text{CH}_3$	(2-) Cl	$\text{CH}=\text{CHCH}_3$	
$\text{SO}_2\text{CH}_3$	(2-) Cl		
$\text{SO}_2\text{CH}_3$	(2-) Cl		
$\text{SO}_2\text{CH}_3$	(2-) Cl		
$\text{SO}_2\text{CH}_3$	(2-) Cl	$\text{N}(\text{CH}_3)_2$	
$\text{SO}_2\text{CH}_3$	(2-) Cl		
$\text{SO}_2\text{CH}_3$	(2-) Cl	Cl	
$\text{SO}_2\text{CH}_3$	(2-) Cl	Br	
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{CF}_3$	
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{SCH}_3$	
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{SC}_2\text{H}_5$	







$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{SC}_3\text{H}_7$	
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{SC}_3\text{H}_7\text{-i}$	
Cl	(2-) $\text{SO}_2\text{CH}_3$		
Cl	(2-) $\text{SO}_2\text{CH}_3$		
Cl	(2-) $\text{SO}_2\text{CH}_3$		
Cl	(2-) $\text{SO}_2\text{CH}_3$		
Cl	(2-) $\text{SO}_2\text{CH}_3$		
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{SCH}=\text{CH}_2$	
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{SCH}_2\text{CN}$	
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{SCH}_2\text{CH}_2\text{CN}$	
Cl	(2-) $\text{SO}_2\text{CH}_3$	$\text{OCH}_3$	

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>3</sub> H <sub>7</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>3</sub> H <sub>7</sub> -i	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>4</sub> H <sub>9</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>6</sub> H <sub>5</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	H	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -i	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub>	






$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -i	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -s	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -t	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH=CHCH <sub>3</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	Cl	








$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	Br	
Cl	(2-) Cl	CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	SCH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	SC <sub>2</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	SC <sub>3</sub> H <sub>7</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	SC <sub>3</sub> H <sub>7</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	SCH=C=CH <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	SCH <sub>2</sub> CN	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	SCH <sub>2</sub> CH <sub>2</sub> CN	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	OCH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	OC <sub>2</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	OC <sub>3</sub> H <sub>7</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	OC <sub>3</sub> H <sub>7</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>





$R^3$	(position)-(R <sup>4</sup> ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl	OC <sub>4</sub> H <sub>9</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	OCH <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	OC <sub>6</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	H	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -s	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -t	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	CH=CHCH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	N(CH <sub>3</sub> ) <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>




$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) Cl		$N(CH_3)_2$
Cl	(2-) Cl	Cl	$N(CH_3)_2$
Cl	(2-) Cl	Br	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$CF_3$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$SCH_3$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$SC_2H_5$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$SC_3H_7$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$SC_3H_7-i$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl		$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl		$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl		$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl		$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl		$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$SCH=C=CH_2$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$SCH_2CN$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$SCH_2CH_2CN$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$OCH_3$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$OC_2H_5$	$N(CH_3)_2$



$R^3$	(position)-(R <sup>4</sup> ) <sub>n</sub>	$R^5$	$R^6$
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OC <sub>3</sub> H <sub>7</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OC <sub>3</sub> H <sub>7</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OC <sub>4</sub> H <sub>9</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OCH <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OC <sub>6</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	H	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>3</sub> H <sub>7</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>4</sub> H <sub>9</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -s	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>4</sub> H <sub>9</sub> -t	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	CH=CHCH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl		N(CH <sub>3</sub> ) <sub>2</sub>

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
$SO_2CH_3$	(2-) Cl		$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	$N(CH_3)_2$	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl		$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	Cl	$N(CH_3)_2$
$SO_2CH_3$	(2-) Cl	Br	$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$	$CF_3$	$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$	$SCH_3$	$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$	$SC_2H_5$	$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$	$SC_3H_7$	$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$	$SC_3H_7-i$	$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$		$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$		$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$		$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$		$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$		$N(CH_3)_2$
Cl	(2-) $SO_2CH_3$	$SCH=C=CH_2$	$N(CH_3)_2$

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	SCH <sub>2</sub> CN	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	SCH <sub>2</sub> CH <sub>2</sub> CN	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>3</sub> H <sub>7</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>3</sub> H <sub>7</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>4</sub> H <sub>9</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>2</sub> CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>6</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	H	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -i	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -s	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub> -t	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH=CHCH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		N(CH <sub>3</sub> ) <sub>2</sub>

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>		N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	Cl	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	Br	N(CH <sub>3</sub> ) <sub>2</sub>
Cl	(2-) Cl	CH <sub>3</sub>	OCH <sub>3</sub>
Cl	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	OCH <sub>3</sub>
Cl	(2-) Cl	SCH <sub>3</sub>	OCH <sub>3</sub>
Cl	(2-) Cl	SC <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
Cl	(2-) Cl	OCH <sub>3</sub>	OCH <sub>3</sub>
Cl	(2-) Cl	OC <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
Cl	(2-) Cl	CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) Cl	SCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) Cl	SC <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) Cl	OCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) Cl	OC <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	OCH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	OCH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	SCH <sub>3</sub>	OCH <sub>3</sub>

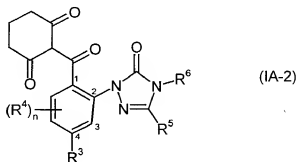
$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	SC <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	SCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	SC <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
Cl	(2-) SO <sub>2</sub> CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	Cl	OCH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	Br	OCH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	CH <sub>3</sub>	OCH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	OCH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	SCH <sub>3</sub>	OCH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	SC <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OC <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	C <sub>3</sub> H <sub>7</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	SCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	SC <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) Cl	OCH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>
CF <sub>3</sub>	(2-) Cl	Br	CH <sub>3</sub>
CF <sub>3</sub>	(2-) Cl	SCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) Cl	OCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) Cl	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
CF <sub>3</sub>	(2-) Cl	CF <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) NO <sub>2</sub>	Br	CH <sub>3</sub>
CF <sub>3</sub>	(2-) NO <sub>2</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) NO <sub>2</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) NO <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) NO <sub>2</sub>	CF <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) CH <sub>3</sub>	Br	CH <sub>3</sub>
CF <sub>3</sub>	(2-) CH <sub>3</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) CH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) CH <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) OCH <sub>3</sub>	Br	CH <sub>3</sub>
CF <sub>3</sub>	(2-) OCH <sub>3</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) OCH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) OCH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CF <sub>3</sub>	(2-) OCH <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) NO <sub>2</sub>	Br	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) NO <sub>2</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) NO <sub>2</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) NO <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) NO <sub>2</sub>	CF <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) CF <sub>3</sub>	Br	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) CF <sub>3</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) CF <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) CF <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) SO <sub>2</sub> CH <sub>3</sub>	Br	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) SO <sub>2</sub> CH <sub>3</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>

$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
SO <sub>2</sub> CH <sub>3</sub>	(2-) SO <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
SO <sub>2</sub> CH <sub>3</sub>	(2-) SO <sub>2</sub> CH <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>
CN	(2-) Cl	Br	CH <sub>3</sub>
CN	(2-) Cl	SCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) Cl	OCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) Cl	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CN	(2-) Cl	CF <sub>3</sub>	CH <sub>3</sub>
CN	(2-) NO <sub>2</sub>	Br	CH <sub>3</sub>
CN	(2-) NO <sub>2</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) NO <sub>2</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) NO <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CN	(2-) NO <sub>2</sub>	CF <sub>3</sub>	CH <sub>3</sub>
CN	(2-) CF <sub>3</sub>	Br	CH <sub>3</sub>
CN	(2-) CF <sub>3</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) CF <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) CF <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CN	(2-) CF <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>
CN	(2-) SO <sub>2</sub> CH <sub>3</sub>	Br	CH <sub>3</sub>
CN	(2-) SO <sub>2</sub> CH <sub>3</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) SO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
CN	(2-) SO <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
CN	(2-) SO <sub>2</sub> CH <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>
Br	(2-) NO <sub>2</sub>	Br	CH <sub>3</sub>
Br	(2-) NO <sub>2</sub>	SCH <sub>3</sub>	CH <sub>3</sub>
Br	(2-) NO <sub>2</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
Br	(2-) NO <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>
Br	(2-) NO <sub>2</sub>	CF <sub>3</sub>	CH <sub>3</sub>
Br	(2-) CF <sub>3</sub>	Br	CH <sub>3</sub>
Br	(2-) CF <sub>3</sub>	SCH <sub>3</sub>	CH <sub>3</sub>

- 46 -

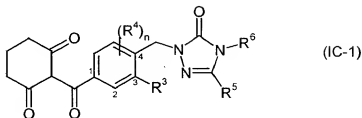
$R^3$	(position-)( $R^4$ ) <sub>n</sub>	$R^5$	$R^6$
Br	(2-) $CF_3$	$OCH_3$	$CH_3$
Br	(2-) $CF_3$	$N(CH_3)_2$	$CH_3$
Br	(2-) $CF_3$	$CF_3$	$CH_3$
Br	(2-) $SO_2CH_3$	Br	$CH_3$
Br	(2-) $SO_2CH_3$	$SCH_3$	$CH_3$
Br	(2-) $SO_2CH_3$	$OCH_3$	$CH_3$
Br	(2-) $SO_2CH_3$	$N(CH_3)_2$	$CH_3$
Br	(2-) $SO_2CH_3$	$CF_3$	$CH_3$
Br	(2-) $CH_3$	Br	$CH_3$
Br	(2-) $CH_3$	$SCH_3$	$CH_3$
Br	(2-) $CH_3$	$OCH_3$	$CH_3$
Br	(2-) $CH_3$	$N(CH_3)_2$	$CH_3$
Br	(2-) $CH_3$	$CF_3$	$CH_3$

Group 3

5

Here,  $R^3$ ,  $(R^4)_n$ ,  $R^5$  and  $R^6$  each have, for example, the meanings given above in Group 1.



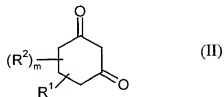
Group 4

5 Here,  $R^3$ ,  $(R^4)_n$ ,  $R^5$  and  $R^6$  each have, for example, the meanings given above in Group 2.

The novel substituted benzoylcyclohexanediones of the general formula (I) have strong and selective herbicidal activity.

10

The novel substituted benzoylcyclohexanediones of the general formula (I) are obtained when 1,3-cyclohexanedione or its derivatives of the general formula (II),

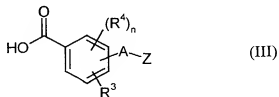


15 in which,

$m$ ,  $R^1$  and  $R^2$  are each as defined above,

are reacted with substituted benzoic acids of the general formula (III),

20



in which

n, A, R<sup>3</sup>, R<sup>4</sup> and Z are each as defined above,

5 in the presence of a dehydrating agent, if appropriate in the presence of one or more reaction auxiliaries and if appropriate in the presence of a diluent,

and, if appropriate, the compounds of the formula (I) obtained in this manner are subsequently subjected in a customary manner, within the scope of the definition of  
10 the substituents, to electrophilic or nucleophilic or oxidation or reduction reactions, or the compounds of the formula (I) are converted in a customary manner into salts.

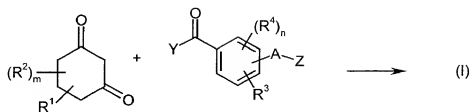
The compounds of the formula (I) can be converted into other compounds of the formula (I) in accordance with the definition above using customary methods, for  
15 example by nucleophilic substitution (for example R<sup>5</sup>: Cl → OC<sub>2</sub>H<sub>5</sub>, SCH<sub>3</sub>) or by oxidation (for example R<sup>5</sup>: CH<sub>2</sub>SCH<sub>3</sub> → CH<sub>2</sub>S(O)CH<sub>3</sub>).

In principle, the compounds of the general formula (I) can also be synthesized as shown schematically below:

20

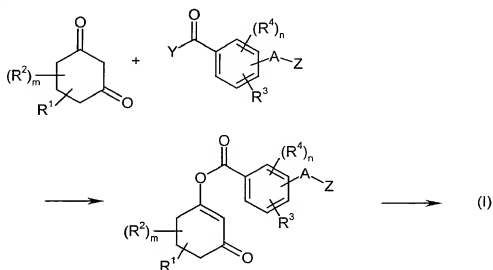
Reaction of 1,3-cyclohexanedione or its derivatives of the general formula (II) - above - with reactive derivatives of the substituted benzoic acids of the general formula (III) - above - in particular with the corresponding carbonyl chlorides, carboxylic anhydrides, carboxylic acid cyanides, methyl carboxylates or ethyl  
25 carboxylates - if appropriate in the presence of reaction auxiliaries, such as, for example, triethylamine (and, if appropriate, additionally zinc chloride), and, if appropriate, in the presence of a diluent, such as, for example, methylene chloride:

- 49 -



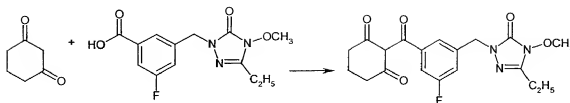
(Y for example CN, Cl)

- 5 In the reactions outlined above for preparing the compounds of the general formula (I), there is, in addition to the desired C-benzoylation at the cyclohexanedione, also an O-benzoylation - cf. equation below (cf. Synthesis 1978, 925-927; Tetrahedron Lett. 37 (1996), 1007-1009, WO-A-91/05469). However, the O-benzoyl compounds formed in this process are, under the reaction conditions of the process according to
- 10 the invention, isomerized to the corresponding C-benzoyl compounds of the formula (I).



- 15 Using, for example, 1,3-cyclohexanedione and 2-(3-carboxy-5-fluorobenzyl)-5-ethyl-4-methoxy-2,4-dihydro-3H-1,2,4-triazol-3-one as starting materials, the course of the reaction in the process according to the invention can be outlined by the following equation:

- 50 -



5 The formula (II) provides a general definition of the cyclohexanediones to be used as starting materials in the process according to the invention for preparing compounds of the formula (I). In the formula (II), m, R<sup>1</sup> and R<sup>2</sup> each preferably have those meanings which have already been given above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred, as being particularly preferred, or as being very particularly preferred for m, R<sup>1</sup> and R<sup>2</sup>.

10 The starting materials of the general formula (II) are known and/or can be prepared by processes known per se.

15 The formula (III) provides a general definition of the substituted benzoic acids further to be used as starting materials in the process according to the invention for preparing compounds of the formula (I). In the formula (III), n, A, R<sup>3</sup>, R<sup>4</sup> and Z each preferably have those meanings which have already been given above, in connection with the description of the compounds of the formula (I) according to the invention, as being preferred, as being particularly preferred, as being very particularly preferred or as being most preferred for n, A, R<sup>3</sup>, R<sup>4</sup> and Z.

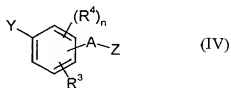
20 Except for 2-(5-carboxy-2,4-dichloro-phenyl)-4-difluoromethyl-5-methyl-2,4-dihydro-3H-1,2,4-triazol-3-one - alias 2,4-dichloro-5-(4-difluoromethyl-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-benzoic acid (CAS-Reg.-No. 90208-77-8) and 2-(5-carboxy-2,4-dichloro-phenyl)-4,5-dimethyl-2,4-dihydro-3H-1,2,4-triazol-3-one - alias 2,4-dichloro-5-(4,5-dihydro-3,4-dimethyl-5-oxo-1H-1,2,4-triazol-1-yl)-benzoic acid (CAS-Reg.-No. 90208-76-7) - the starting materials of the general formula (III) have hitherto not been disclosed in the literature. Except for 2-(5-carboxy-2,4-dichloro-phenyl)-4-difluoromethyl-5-methyl-2,4-dihydro-3H-1,2,4-triazol-3-one and

- 51 -

2-(5-carboxy-2,4-dichloro-phenyl)-4,5-dimethyl-2,4-dihydro-3H-1,2,4-triazol-3-one  
(cf. JP-A-58225070 - quoted in Chem. Abstracts 100:209881, JP-A-02015069 -  
quoted in Chem. Abstracts 113:23929), they also form, as novel compounds, part of  
the subject matter of the present application.

5

The novel substituted benzoic acids of the general formula (III), are obtained when  
benzoic acid derivatives of the general formula (IV),



10 in which

n, A, R<sup>3</sup> and R<sup>4</sup> and Z are each as defined above, and

Y represents cyano, carbamoyl, halogenocarbamoyl or alkoxycarbonyl,

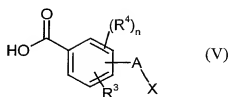
15

are reacted with water, if appropriate in the presence of a hydrolysis auxiliary, such  
as, for example, sulphuric acid, at temperatures between 50°C and 120°C (cf. the  
Preparation Examples).

20 The benzoic acid derivatives of the general formula (IV) required as precursors are  
known and/or can be prepared by processes known per se (cf. DE-A-3839480, DE-A-  
4239296, EP-A-597360, EP-A-609734, DE-A-4303676, EP-A-617026, DE-A-  
4405614, US-A-5378681).

25 The novel substituted benzoic acids of the general formula (III) are also obtained  
when halogeno(alkyl)benzoic acids of the general formula (V),

- 52 -



in which

$n$ ,  $A$ ,  $R^3$  and  $R^4$  are each as defined above and

5

$X$  represents halogen (in particular fluorine, chlorine or bromine)

are reacted with compounds of the general formula (VI)



10

in which

$Z$  is as defined above,

15 if appropriate in the presence of a reaction auxiliary, such as, for example, triethylamine or potassium carbonate, and if appropriate in the presence of a diluent, such as, for example, acetone, acetonitrile,  $N,N$ -dimethyl-formamide or  $N,N$ -dimethyl-acetamide, at temperatures between  $50^\circ\text{C}$  and  $200^\circ\text{C}$  (cf. the Preparation Examples).

20

Instead of the halogeno(alkyl)benzoic acids of the general formula (V), it is also possible, similarly to the methods described above, to react appropriate nitriles, amides and esters - in particular the methyl esters or the ethyl esters - with compounds of the general formula (VI). By subsequent hydrolysis according to  
 25 customary methods, for example by reaction with aqueous-ethanolic potassium hydroxide solution, it is then possible to obtain the corresponding substituted benzoic acids.

5 The halogeno(alkyl)benzoic acids of the formula (V) - or corresponding nitriles or esters - required as precursors are known and/or can be prepared by processes known per se (cf. EP-A-90369, EP-A-93488, EP-A-399732, EP-A-480641, EP-A-609798, EP-A-763524, DE-A-2126720, WO-A-93/03722, WO-A-97/38977, US-A-3978127, US-A-4837333).

10 The compounds of the general formula (VI) further required as precursors are known and/or can be prepared by processes known per se.

The process according to the invention for preparing the novel substituted benzoylcyclohexanediones of the general formula (I) is carried out using a dehydrating agent. Here, suitable dehydrating agents are the customary chemicals which are suitable for binding water.

15 Examples of these are dicyclohexylcarbodiimide and carbonyl-bis-imidazole.

A particularly suitable dehydrating agent is dicyclohexylcarbodiimide.

20 The process according to the invention for preparing novel substituted benzoylcyclohexanediones of the general formula (I) is, if appropriate, carried out using a reaction auxiliary.

25 Examples of these are sodium cyanide, potassium cyanide, acetone cyanohydrin, 2-cyano-2-(trimethylsilyloxy)-propane and trimethylsilyl cyanide.

The particularly suitable further reaction auxiliary is trimethylsilyl cyanide.

30 The process according to the invention for preparing the novel substituted benzoylcyclohexanediones of the general formula (I) is, if appropriate, carried out using a further reaction auxiliary. Suitable further reaction auxiliaries for the process

according to the invention are, in general, basic organic nitrogen compounds, such as, for example, trimethylamine, triethylamine, tripropylamine, tributylamine, ethyl-diisopropylamine, N,N-dimethyl-cyclohexylamine, dicyclohexylamine, ethyl-dicyclohexylamine, N,N-dimethyl-aniline, N,N-dimethyl-benzylamine, pyridine, 2-methyl-, 3-methyl-, 4-methyl-, 2,4-dimethyl-, 2,6-dimethyl-, 3,4-dimethyl- and 3,5-dimethyl-pyridine, 5-ethyl-2-methyl-pyridine, 4-dimethylamino-pyridine, N-methyl-piperidine, 1,4-diazabicyclo[2.2.2]-octane (DABCO), 1,5-diazabicyclo[4.3.0]-non-5-ene (DBN), or 1,8-diazabicyclo[5.4.0]-undec-7-ene (DBU).

Suitable diluents for carrying out the process according to the invention are, in particular, inert organic solvents. These include, in particular, aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzene, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, tetrachloromethane or 1,2-dichloroethane; ethers, such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran, ethylene glycol dimethyl ether or ethylene glycol diethyl ether; ketones, such as acetone, butanone or methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or butyronitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-formanilide, N-methyl-pyrrolidone or hexamethylphosphoric triamide; esters such as methyl acetate or ethyl acetate, sulphoxides, such as dimethylsulphoxide.

When carrying out the process according to the invention, the reaction temperatures can be varied within a relatively wide range. In general, the process is carried out at temperatures between 0°C and 150°C, preferably between 10°C and 120°C.

The process according to the invention is generally carried out under atmospheric pressure. However, it is also possible to carry out the process according to the invention under elevated or reduced pressure - in general between 0.1 bar and 10 bar.



For carrying out the process according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to use a relatively large excess of one of the components. The reaction is generally carried out in a suitable diluent in the presence of a dehydrating agent, and the reaction mixture is generally stirred at the required temperature for several hours. Work-up is carried out by customary methods (cf. the Preparation Examples).

The active compounds according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weedkillers. By weeds in the broadest sense, there are to be understood all plants which grow in locations where they are not wanted. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

The active compounds according to the invention can be used, for example, in connection with the following plants:

Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus, Taraxacum.

Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis, Cucurbita.

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis,

- 56 -

Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus, Apera.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena,  
5 Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus, Allium.

However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

10 The compounds are suitable, depending on the concentration, for the total control of weeds, for example on industrial terrain and railway tracks, and on paths and open spaces with or without tree plantings. Equally, the compounds can be employed for the control of weeds in perennial crops for example forests, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee  
15 plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hopfields, in lawns, turf and pasture land, and for the selective control of weeds in annual crops.

The compounds of the formula (I) according to the invention are particularly suitable  
20 for the selective control of monocotyledonous and dicotyledonous weeds in monocotyledonous crops, both pre-emergence and postemergence.

The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusting agents,  
25 pastes, soluble powders, granules, suspo-emulsion concentrates, natural and synthetic materials impregnated with active compound, and very fine capsules in polymeric substances.

These formulations are produced in a known manner, for example by mixing the  
30 active compounds with extenders, that is liquid solvents and/or solid carriers,

optionally with the use of surfactants, that is emulsifying agents and/or dispersing agents and/or foam-forming agents.

If the extender used is water, it is also possible to employ for example organic solvents as auxiliary solvents. Suitable liquid solvents are essentially the following: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, mineral and vegetable oils, alcohols, such as butanol or glycol and also their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

Suitable solid carriers are: for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates; suitable solid carriers for granules are: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, and also synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks; suitable emulsifying and/or foam-forming agents are: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates as well as protein hydrolysates; suitable dispersing agents are: for example lignin-sulphite waste liquors and methylcellulose.

Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latexes, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, as well as natural phospholipids, such as cephalins and lecithins, and synthetic phospholipids, can be used in the formulations. Other possible additives are mineral and vegetable oils.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyes, such as alizarin dyes, azo dyes and metal phthalocyanine dyes, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

For the control of weeds, the active compounds according to the invention, as such or in the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

Possible components for the mixtures are known herbicides, for example

acetochlor, acifluorfen(-sodium), aclonifen, alachlor, alloxymid(-sodium), ametryne, amidochlor, amidosulfuron, anilofos, asulam, atrazine, azafenidin, azimsulfuron, benazolin(-ethyl), benfuresate, bensulfuron(-methyl), bentazon, benzofenap, benzo-ylprop(-ethyl), bialaphos, bifenox, bispyribac(-sodium), bromobutide, bromo-fenoxim, bromoxynil, butachlor, butoxydim, butylate, cafenstrole, caloxydim, carbetamide, carfentrazone(-ethyl), chlomethoxyfen, chloramben, chloridazon, chlorimuron(-ethyl), chlornitrofen, chloresulfuron, chlortoluron, cinidon(-ethyl), cinnmethylin, cinosulfuron, clethodim, clodinafop(-propargyl), clomazone, clome-prop, clopyralid, clopyrasulfuron(-methyl), cloransulam(-methyl), cumyluron, cyanazine, cybutryne, cycloate, cyclosulfamuron, cycloxydim, cyhalofop(-butyl), 2,4-D, 2,4-DB, 2,4-DP, desmedipham, diallate, dicamba, diclofop(-methyl), diclo-sulam, diethatyl(-ethyl), difenzoquat, diflufenican, diflufenzopyr, dimefuron, dime-piperate, dimethachlor, dimethametryn, dimethenamid, dimexyflam, dinitramine, diphenamid, diquat, dithiopyr, diuron, dymron, epoprodan, EPTC, esprocarb, ethalfuralin, ethametsulfuron(-methyl), ethofumesate, ethoxyfen, ethoxysulfuron, etobenzanid, fenoxaprop(-P-ethyl), flamprop(-isopropyl), flamprop(-isopropyl-L),

flamprop(-methyl), flazasulfuron, fluazifop(-P-butyl), fluazolate, flucarbazone,  
 flufenacet, flumetsulam, flumiclorac(-pentyl), flumioxazin, flumipropyn, flumet-  
 sulam, fluometuron, fluorochloridone, fluoroglycofen(-ethyl), flupoxam, flupropacil,  
 flurpysulfuron(-methyl, -sodium), flurenol(-butyl), fluridone, fluroxypyr(-methyl),  
 5 flurprimidol, flurtamone, fluthiacet(-methyl), fluthiamide, fomesafen, glufosinate-  
 (-ammonium), glyphosate(-isopropylammonium), halosafen, haloxyfop(-ethoxy-  
 ethyl), haloxyfop(-P-methyl), hexazinone, imazamethabenz(-methyl), imazameth-  
 apyr, imazamox, imazapic, imazapyr, imazaquin, imazethapyr, imazosulfuron,  
 iodosulfuron, ioxynil, isopropalin, isoproturon, isouron, isoxaben, isoxachlortole,  
 10 isoxaflutole, isoxapyrifop, lactofen, lenacil, linuron, MCPA, MCPP, mefenacet,  
 mesotrione, metamitron, metazachlor, methabenzthiazuron, metobenzuron, meto-  
 bromuron, (alpha-)metolachlor, metosulam, metoxuron, metribuzin, metsulfuron(-  
 methyl), molinate, monolinuron, naproanilide, napropamide, neburon, nicosulfuron,  
 norflurazon, orbencarb, oryzalin, oxadiargyl, oxadiazon, oxasulfuron, oxaziclo-  
 15 mefone, oxyfluorfen, paraquat, pelargonic acid, pendimethalin, pentoxazone,  
 phenmedipham, piperophos, pretilachlor, primisulfuron(-methyl), procarbazon, pro-  
 metryn, propachlor, propanil, propaquizafop, propisochlor, propyzamide, pro-  
 sulfocarb, prosulfuron, pyraflufen(-ethyl), pyrazolate, pyrazosulfuron(-ethyl),  
 pyrazoxyfen, pyribenzoxim, pyributicarb, pyridate, pyriminobac(-methyl),  
 20 pyrithiobac(-sodium), quinchlorac, quinmerac, quinclamine, quizalofop(-P-ethyl),  
 quizalofop(-P-tefuryl), rimsulfuron, sethoxydim, simazine, simetryn, sulcotrione,  
 sulfentrazon, sulfometuron(-methyl), sulfosate, sulfosulfuron, tebutam, tebutiuron,  
 tepaloxym, terbuthylazine, terbutryn, thenylchlor, thiafluamide, thiazopyr,  
 thidiazimin, thifensulfuron(-methyl), thiobencarb, tiocarbazil, tralkoxydim, triallate,  
 25 triasulfuron, tribenuron(-methyl), triclopyr, tridiphane, trifluralin and triflusaluron.

Mixtures with other known active compounds, such as fungicides, insecticides,  
 acaricides, nematicides, bird repellents, plant nutrients and agents which improve soil  
 structure, are also possible.

- 60 -

The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing, scattering.

5

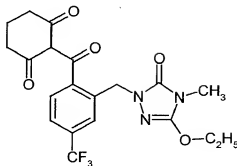
The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing.

10

The amount of active compound used can vary within a relatively wide range. It depends essentially on the nature of the desired effect. In general, the amounts used are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha.

15

The preparation and use of the active compounds according to the invention can be seen from the following examples.

**Preparation Examples:****Example 1**

5

10

15

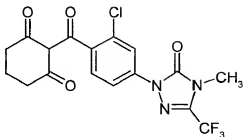
20

1.2 g (3.48 mmol) of 5-ethoxy-4-methyl-2-(2-carboxy-5-trifluoromethyl-benzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one are suspended in 30 ml of acetonitrile and, at room temperature (approximately 20°C), admixed with 0.39 g (3.48 mmol) of 1,3-cyclohexanedione and 0.76 g (3.7 mmol) of dicyclohexylcarbodiimide (DCC). The reaction mixture is stirred at room temperature overnight (approximately 15 hours) and then admixed with 1.0 ml (7.0 mmol) of triethylamine and 0.10 ml (1.39 mmol) of trimethylsilyl cyanide. After 3 hours at room temperature, the mixture is stirred with 100 ml of 5% strength aqueous sodium carbonate solution, the dicyclohexylurea that separates out is filtered off with suction and the alkaline aqueous phase is repeatedly extracted with ethyl acetate. The aqueous phase is then adjusted to pH 2 using 35% strength hydrochloric acid and extracted repeatedly with methylene chloride. The methylene chloride phases are dried over sodium sulphate and concentrated.

25

This gives 0.8 g (52% of theory) of 5-ethoxy-4-methyl-2-[2-(2,6-dioxo-cyclohexyl-carbonyl)-5-trifluoromethyl-benzyl]-2,4-dihydro-3H-1,2,4-triazol-3-one as an amorphous residue.

logP (determined at pH=2): 2.70.

**Example 2**

5

A solution of 1.5 g (7.2 mmol) of dicyclohexylcarbodiimide in 40 ml of acetonitrile is added to a suspension of 2.15 g (6.5 mmol) of 2-(4-carboxy-3-chloro-phenyl)-4-methyl-5-trifluoromethyl-2,4-dihydro-3H-1,2,4-triazol-3-one, 0.83 g (7.2 mmol) of 1,3-cyclohexanedione and 40 ml of acetonitrile, and the reaction mixture is stirred at 20°C for 16 hours. 1.3 g (13 mmol) of triethylamine and 0.26 g (2.6 mmol) of trimethylsilyl cyanide are then added, and the reaction mixture is stirred at 20°C for a further 4 hours. The mixture is then stirred with 180 ml of 2% strength aqueous sodium carbonate solution and filtered off with suction. The mother liquor is extracted with ethyl acetate. The aqueous phase is then acidified using 2N hydrochloric acid and extracted with methylene chloride. The organic phase is dried, concentrated under water pump vacuum and digested with diethyl ether/petroleum ether. The resulting crystalline product is isolated by filtration with suction.

15

20

This gives 1.6 g (59% of theory) of 2-[4-(2,6-dioxocyclohexylcarbonyl)-3-chloro-phenyl]-4-methyl-5-trifluoromethyl-2,4-dihydro-3H-1,2,4-triazol-3-one of melting point 182°C.

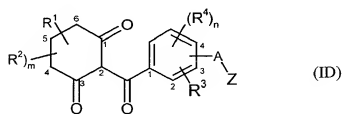
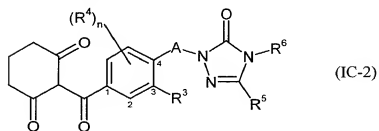
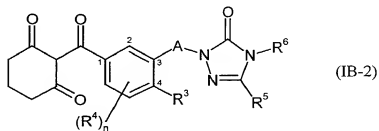
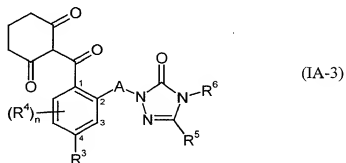
logP (determined at pH=2): 3.13.

25







By the methods of Preparation Examples 1 and 2, and in accordance with the general description of the preparation processes according to the invention, it is also possible









to prepare, for example, the compounds of the formula (I) - or of the formulae (IA-3), (IB-2), (IC-2) or (ID) - listed in Tables 1 and 2 below.













**Table 1:** Examples of compounds of the formulae (IA-3), (IB-2), (IC-2)





Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
3	-	H	H	CF <sub>3</sub>	CH <sub>3</sub>	(IC-2) logP = 2.41a)
4	CH <sub>2</sub>	CF <sub>3</sub>	H			(IA-3) logP = 2.41a)
5	CH <sub>2</sub>	SO <sub>2</sub> CH <sub>3</sub>	H			(IB-2) m.p.: 153°C
6	CH <sub>2</sub>	SO <sub>2</sub> CH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	(IA-3) m.p.: 162°C
7	CH <sub>2</sub>	Cl	H	CH <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 1.50a)
8	CH <sub>2</sub>	Cl	H	CF <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 2.44a)
9	CH <sub>2</sub>	Cl	H			(IB-2) logP = 2.23b)
10	CH <sub>2</sub>	Br	H	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>	(IA-3) logP = 2.68a)
11	CH <sub>2</sub>	F	H	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 1.73a)
12	CH <sub>2</sub>	F	H	SCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 1.99a)
13	CH <sub>2</sub>	F	H	SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 1.83a)
14	CH <sub>2</sub>	Br	H	CH <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 1.57a)
15	CH <sub>2</sub>	Br	H	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IB-2) m.p.: 132°C





Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
16	CH <sub>2</sub>	Br	H			(IB-2) logP = 2.31a)
17	CH <sub>2</sub>	Cl	H	OC <sub>2</sub> H <sub>5</sub>		(IA-3) logP = 3.03a)
18	CH <sub>2</sub>	Cl	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.75a)
19	CH <sub>2</sub>	Cl	H	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>	(IA-3) logP = 2.60a)
20	CH <sub>2</sub>	NO <sub>2</sub>	H	SCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.04a)
21	CH <sub>2</sub>	CF <sub>3</sub>	H	OC <sub>2</sub> H <sub>5</sub>		(IA-3) logP = 3.02a)
22	CH <sub>2</sub>	CF <sub>3</sub>	H	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>	(IA-3) logP = 2.91a)
23	CH <sub>2</sub>	CF <sub>3</sub>	H	SCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.59a)
24	CH <sub>2</sub>	OCH <sub>3</sub>	H	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 1.99a)
25	CH <sub>2</sub>	OCH <sub>3</sub>	H	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>	(IA-3) logP = 2.18a)
26	CH <sub>2</sub>	Br	H	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 2.46a)
27	CH <sub>2</sub>	Br	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.85a)
28	CH <sub>2</sub>	H	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.33a)
29	CH <sub>2</sub>	CF <sub>3</sub>	H	OCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.35a)

Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
30	CH <sub>2</sub>	F	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.47 <sup>a)</sup>
31	CH <sub>2</sub>	F	H	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>	(IA-3) logP = 2.28 <sup>a)</sup>
32	CH <sub>2</sub>	F	H	OCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 1.76 <sup>a)</sup>
33	CH <sub>2</sub>	H	H	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 1.93 <sup>a)</sup>
34	CH <sub>2</sub>	H	H	OCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 1.61 <sup>a)</sup>
35	-	H	(2) CF <sub>3</sub>	CF <sub>3</sub>	CH <sub>3</sub>	(IC-2) m.p.: 190°C
36	-	H	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.48 <sup>a)</sup>
37	-	Cl	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.83 <sup>a)</sup>
38	-	H	(2) Cl	CH <sub>3</sub>	CH <sub>3</sub>	(IC-2) m.p.: 196°C
39	CH <sub>2</sub>	Cl	(2) Cl	CF <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 2.79 <sup>a)</sup>
40	-	Br	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.90 <sup>a)</sup>
41	CH <sub>2</sub>	Cl	(2) Cl	SCH <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 2.38 <sup>a)</sup>
42	CH <sub>2</sub>	Cl	(2) Cl	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IB-2) logP = 2.48 <sup>a)</sup>
43	CH <sub>2</sub>	Cl	(2) Cl			(IB-2) logP = 2.62 <sup>a)</sup>

Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
44	CH <sub>2</sub>	Cl	(2) Cl	OCH <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 2.14 <sup>a)</sup>
45	CH <sub>2</sub>	Cl	(2) Cl	OC <sub>3</sub> H <sub>7</sub> -i	CH <sub>3</sub>	(IB-2) logP = 2.79 <sup>a)</sup>
46	CH <sub>2</sub>	Cl	(2) Cl	OCH <sub>2</sub> CF <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 2.84 <sup>a)</sup>
47	CH <sub>2</sub>	Cl	(2) Cl	Br	CH <sub>3</sub>	(IB-2) logP = 2.26 <sup>a)</sup>
48	CH <sub>2</sub>	Cl	(2) Cl	H	CH <sub>3</sub>	(IB-2) logP = 1.69 <sup>a)</sup>
49	CH <sub>2</sub>	Cl	(2) Cl		CH <sub>3</sub>	(IB-2) logP = 2.25 <sup>a)</sup>
50	CH <sub>2</sub>	Cl	(2) Cl	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	(IB-2) logP = 2.18 <sup>a)</sup>
51	CH <sub>2</sub>	Cl	(2) Cl	CH <sub>3</sub>	CH <sub>3</sub>	(IB-2) logP = 1.79 <sup>a)</sup>
52	CH <sub>2</sub>	Cl	(2) Cl	R <sup>5</sup> + R <sup>6</sup> :	(CH <sub>2</sub> ) <sub>4</sub>	(IB-2) logP = 1.98 <sup>a)</sup>
53	CH <sub>2</sub>	Cl	(2) Cl	OCH <sub>3</sub>		(IB-2) logP = 2.45 <sup>a)</sup>
54	CH <sub>2</sub>	Cl	(2) Cl	OC <sub>2</sub> H <sub>5</sub>		(IB-2) logP = 2.79 <sup>a)</sup>
55	CH <sub>2</sub>	Cl	(2) Cl	OC <sub>3</sub> H <sub>7</sub> -i		(IB-2) logP = 3.14 <sup>a)</sup>
56	CH <sub>2</sub>	Cl	(2) Cl	OCH <sub>2</sub> CF <sub>3</sub>		(IB-2) logP = 3.18 <sup>a)</sup>
57	CH <sub>2</sub>	Cl	(2) Cl	SCH <sub>3</sub>		(IB-2) logP = 2.77 <sup>a)</sup>

Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
58	CH <sub>2</sub>	Cl	(2) Cl	N(CH <sub>3</sub> ) <sub>2</sub>		(IB-2) logP = 2.49 <sup>a)</sup>
59	CH <sub>2</sub>	Cl	(2) Cl	CH <sub>3</sub>		(IB-2) logP = 2.09 <sup>a)</sup>
60	CH <sub>2</sub>	Cl	(2) Cl	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>	(IB-2) logP = 2.65 <sup>a)</sup>
61	CH <sub>2</sub>	CF <sub>3</sub>	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 3.06 <sup>a)</sup>
62	CH <sub>2</sub>	H	H	C <sub>2</sub> H <sub>5</sub>	OC <sub>2</sub> H <sub>5</sub>	(IA-3) logP = 2.10 <sup>a)</sup>
63	CH <sub>2</sub>	H	H	SCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 1.85 <sup>a)</sup>
64	CH <sub>2</sub>	H	H			(IA-3) logP = 2.09 <sup>a)</sup>
65	CH <sub>2</sub>	Cl	(5) Cl	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 3.24 <sup>a)</sup>
66	CH <sub>2</sub>	H	H	SO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 1.71 <sup>a)</sup>
67	CH <sub>2</sub>	SO <sub>2</sub> CH <sub>3</sub>	H	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 1.64 <sup>a)</sup>
68	CH <sub>2</sub>	Br	H	R <sup>5</sup> + R <sup>6</sup> :	(CH <sub>2</sub> ) <sub>4</sub>	(IA-3) logP = 1.64 <sup>a)</sup>
69	CH <sub>2</sub>	Br	H	OC <sub>3</sub> H <sub>7-n</sub>	CH <sub>3</sub>	(IA-3) logP = 2.82 <sup>a)</sup>
70	CH <sub>2</sub>	Br	H	OC <sub>3</sub> H <sub>7-i</sub>	CH <sub>3</sub>	(IA-3) logP = 2.84 <sup>a)</sup>
71	CH <sub>2</sub>	CF <sub>3</sub>	H	OC <sub>3</sub> H <sub>7-i</sub>	CH <sub>3</sub>	(IA-3) logP = 3.05 <sup>a)</sup>


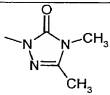
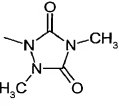
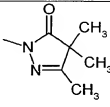
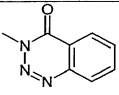
Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
72	CH <sub>2</sub>	CF <sub>3</sub>	H	OC <sub>3</sub> H <sub>7-n</sub>	CH <sub>3</sub>	(IA-3) logP = 3.06 <sup>a)</sup>
73	CH <sub>2</sub>	Br	H	Br	CH <sub>3</sub>	(IA-3) logP = 2.33 <sup>a)</sup>
74	CH <sub>2</sub>	CF <sub>3</sub>	H	OC <sub>3</sub> H <sub>7-i</sub>		(IA-3) logP = 3.38 <sup>a)</sup>
75	CH <sub>2</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> OCH <sub>3</sub>		(IA-3) logP = 2.53 <sup>a)</sup>
76	CH <sub>2</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> OCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.26 <sup>a)</sup>
77	CH <sub>2</sub>	I	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.98 <sup>a)</sup>
78	CH <sub>2</sub>	Br	H	SCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.36 <sup>a)</sup>
79	CH <sub>2</sub>	Cl	H	SCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.30 <sup>a)</sup>
80	CH <sub>2</sub>	CF <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.06 <sup>a)</sup>
81	CH <sub>2</sub>	CF <sub>3</sub>	H	OC <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	(IA-3) logP = 3.01 <sup>a)</sup>
82	CH <sub>2</sub>	CF <sub>3</sub>	H	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	(IA-3) logP = 2.40 <sup>a)</sup>
83	CH <sub>2</sub>	CF <sub>3</sub>	H	Br	CH <sub>3</sub>	(IA-3) logP = 2.54 <sup>a)</sup>
84	CH <sub>2</sub>	H	(3) CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 2.21 <sup>a)</sup>
85	CH <sub>2</sub>	Br	H			(IA-3) logP = 2.62 <sup>a)</sup>

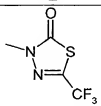
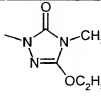
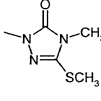
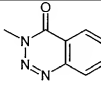
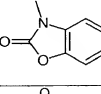
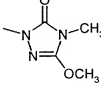
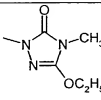
Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
86	CH <sub>2</sub>	Br	H		CH <sub>3</sub>	(IA-3) logP = 2.99 <sup>a)</sup>
87	CH <sub>2</sub>	CF <sub>3</sub>	H	SC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 2.94 <sup>a)</sup>
88	CH <sub>2</sub>	CF <sub>3</sub>	H	SC <sub>3</sub> H <sub>7</sub> -i	CH <sub>3</sub>	(IA-3) logP = 2.63 <sup>a)</sup>
89	CH <sub>2</sub>	CF <sub>3</sub>	H	R <sup>5</sup> + R <sup>6</sup> :	(CH <sub>2</sub> ) <sub>4</sub>	(IA-3) logP = 2.25 <sup>a)</sup>
90	CH <sub>2</sub>	CF <sub>3</sub>	H	OCH <sub>3</sub>		(IA-3) logP = 2.65 <sup>a)</sup>
91	CH <sub>2</sub>	CF <sub>3</sub>	H	OCH <sub>2</sub> CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 3.06 <sup>a)</sup>
92	CH <sub>2</sub>	CN	H	CF <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 2.29 <sup>a)</sup>
93	CH <sub>2</sub>	F	H	N(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	(IA-3) logP = 1.81 <sup>a)</sup>
94	CH <sub>2</sub>	F	H	OC <sub>3</sub> H <sub>7</sub> -n	CH <sub>3</sub>	(IA-3) logP = 2.44 <sup>a)</sup>
95	CH <sub>2</sub>	F	H	CH <sub>2</sub> OCH <sub>3</sub>	CH <sub>3</sub>	(IA-3) logP = 1.69 <sup>a)</sup>
96	CH <sub>2</sub>	F	H	OCH <sub>3</sub>		(IA-3) logP = 2.05 <sup>a)</sup>
97	CH <sub>2</sub>	F	H	OC <sub>2</sub> H <sub>5</sub>		(IA-3) logP = 2.39 <sup>a)</sup>
98	CH <sub>2</sub>	I	H	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IA-3) logP = 2.59 <sup>a)</sup>

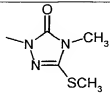
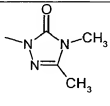
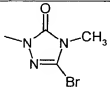
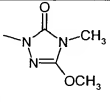
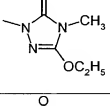
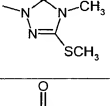
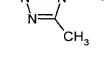


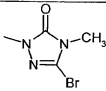
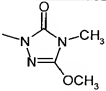
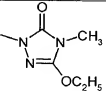
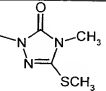
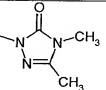
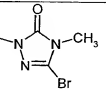
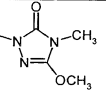
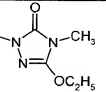
Ex. No.	A	R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	R <sup>5</sup>	R <sup>6</sup>	(formula) physical data
99	CH <sub>2</sub>	OCH <sub>3</sub>	(2) NO <sub>2</sub>	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	(IC-2) logP = 2.24 <sup>a)</sup>
100	CH <sub>2</sub>	OCH <sub>3</sub>	(2) NO <sub>2</sub>	SCH <sub>3</sub>	CH <sub>3</sub>	(IC-2) logP = 2.18 <sup>a)</sup>

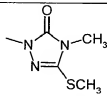
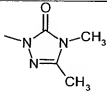
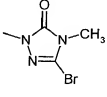
**Table 2:** Examples of compounds of the formula (ID)

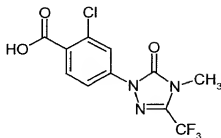
Ex. No.	A	(position) R <sup>1</sup>	(position) (R <sup>5</sup> ) <sub>m</sub>	(position) R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	(position) Z	physical data
ID-1	CH <sub>2</sub>	H	H	(2) Cl	(4) Cl	(3) 	logP = 4.26 <sup>a)</sup>
ID-2	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(4) CF <sub>3</sub>	H	(2) 	logP = 2.61 <sup>a)</sup>
ID-3	CH <sub>2</sub>	H	H	(4) CF <sub>3</sub>	H	(2) 	logP = 2.24 <sup>a)</sup>
ID-4	CH <sub>2</sub>	H	H	(4) CF <sub>3</sub>	H	(2) 	logP = 2.63 <sup>a)</sup>
ID-5	CH <sub>2</sub>	H	H	H	H	(2) 	logP = 2.35 <sup>a)</sup>

Ex. No.	A	(position) R <sup>1</sup>	(position) (R <sup>2</sup> ) <sub>m</sub>	(position) R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	(position) Z	physical data
ID-6	CH <sub>2</sub>	H	H	(4) CF <sub>3</sub>	H	 (2)	logP = 3.77 <sup>a)</sup>
ID-7	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(4) CF <sub>3</sub>	H	 (2)	logP = 3.27 <sup>a)</sup>
ID-8	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(4) CF <sub>3</sub>	H	 (2)	logP = 3.18 <sup>a)</sup>
ID-9	CH <sub>2</sub>	H	H	(4) Br	H	 (2)	logP = 2.92 <sup>a)</sup>
ID-10	CH <sub>2</sub>	H	H	(4) Br	H	 (2)	logP = 3.04 <sup>a)</sup>
ID-11	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	m.p.: 140°C  logP = 2.72 <sup>a)</sup>
ID-12	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	m.p.: 103°C  logP = 3.08 <sup>a)</sup>

Ex. No.	A	(position) R <sup>1</sup>	(position) (R <sup>2</sup> ) <sub>m</sub>	(position) R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	(position) Z	physical data
ID-13	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	m.p.: 118°C  logP = 2.98 <sup>a)</sup>
ID-14	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	m.p.: 132°C  logP = 2.32 <sup>a)</sup>
ID-15	CH <sub>2</sub>	(5) CH <sub>3</sub>	(5) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	m.p.: 170°C  logP = 2.86 <sup>a)</sup>
ID-16	CH <sub>2</sub>	(4) CH <sub>3</sub>	(4) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	logP = 2.78 <sup>a)</sup>
ID-17	CH <sub>2</sub>	(4) CH <sub>3</sub>	(4) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	logP = 3.15 <sup>a)</sup>
ID-18	CH <sub>2</sub>	(4) CH <sub>3</sub>	(4) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	logP = 3.06 <sup>a)</sup>
ID-19	CH <sub>2</sub>	(4) CH <sub>3</sub>	(4) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	logP = 2.38 <sup>a)</sup>

Ex. No.	A	(position) R <sup>1</sup>	(position) (R <sup>2</sup> ) <sub>m</sub>	(position) R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	(position) Z	physical data
ID-20	CH <sub>2</sub>	(4) CH <sub>3</sub>	(4) CH <sub>3</sub>	(2) Cl	(4) Cl	 (3)	logP = 2.94 <sup>a)</sup>
ID-21	CH <sub>2</sub>	(5) C <sub>3</sub> H <sub>7</sub> -i	H	(2) Cl	(4) Cl	 (3)	logP = 3.12 <sup>a)</sup>
ID-22	CH <sub>2</sub>	(5) C <sub>3</sub> H <sub>7</sub> -i	H	(2) Cl	(4) Cl	 (3)	logP = 3.49 <sup>a)</sup>
ID-23	CH <sub>2</sub>	(5) C <sub>3</sub> H <sub>7</sub> -i	H	(2) Cl	(4) Cl	 (3)	logP = 3.39 <sup>a)</sup>
ID-24	CH <sub>2</sub>	(5) C <sub>3</sub> H <sub>7</sub> -i	H	(2) Cl	(4) Cl	 (3)	logP = 2.70 <sup>a)</sup>
ID-25	CH <sub>2</sub>	(5) C <sub>3</sub> H <sub>7</sub> -i	H	(2) Cl	(4) Cl	 (3)	logP = 3.28 <sup>a)</sup>
ID-26	CH <sub>2</sub>	(5) CH <sub>3</sub>	H	(2) Cl	(4) Cl	 (3)	
ID-27	CH <sub>2</sub>	(5) CH <sub>3</sub>	H	(2) Cl	(4) Cl	 (3)	

Ex. No.	A	(position) R <sup>1</sup>	(position) (R <sup>2</sup> ) <sub>m</sub>	(position) R <sup>3</sup>	(position) (R <sup>4</sup> ) <sub>n</sub>	(position) Z	physical data
ID-28	CH <sub>2</sub>	(5) CH <sub>3</sub>	H	(2) Cl	(4) Cl	 (3)	
ID-29	CH <sub>2</sub>	(5) CH <sub>3</sub>	H	(2) Cl	(4) Cl	 (3)	
ID-30	CH <sub>2</sub>	(5) CH <sub>3</sub>	H	(2) Cl	(4) Cl	 (3)	

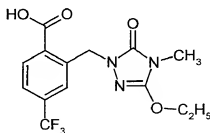
**Starting materials of formula (III):****Example (III-1)**

5

4.5 g (15 mmol) of 2-(3-chloro-4-carboxyphenyl)-4-methyl-5-(trifluoromethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one are taken up in 80 ml of 60% strength sulphuric acid, and the mixture is heated under reflux for 6 hours. After cooling to room temperature, the resulting crystalline produce is isolated by filtration with suction.

10

This gives 4.5 g (91% of theory) of 2-(3-carboxy-4-chloro-phenyl)-4-methyl-5-(trifluoromethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one of melting point 223°C.

**Example (III-2)**

15

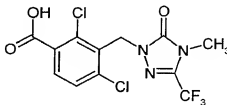
2 g (4.9 mmol) of 5-bromo-4-methyl-2-(2-ethoxycarbonyl-5-(trifluoromethyl)benzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one (compare Example IV-1) are dissolved in 30 ml of 10% strength ethanolic potassium hydroxide solution and heated under reflux for 2 hours. The reaction mixture is concentrated under water pump vacuum, taken up in 20 ml of water and acidified with dilute hydrochloric acid. The solid that precipitates out is filtered and dried.

20

- 77 -

This gives 1.2 g (71% of theory) of 5-ethoxy-4-methyl-2-(2-carboxy-5-trifluoromethyl-benzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one as a solid product.  
logP: 2.18<sup>a)</sup>

5

**Example (III-3)**

10

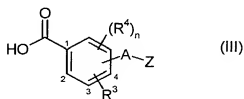
15

13.4 g (35 mmol) 4-methyl-5-trifluoromethyl-2-(2,6-dichloro-3-methoxycarbonyl-benzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one are initially charged in 60 ml of 1,4-dioxane, and a solution of 1.54 g (38,5 mmol) of sodium hydroxide in 20 ml of water is slowly metered in at room temperature. The reaction mixture is stirred at 60°C for 150 minutes and subsequently concentrated under water pump vacuum. The residue is dissolved in 100 ml of water, and the pH of the solution is adjusted to 1 by addition of conc. hydrochloric acid. The resulting crystalline product is isolated by filtration with suction.

20

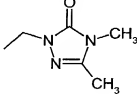
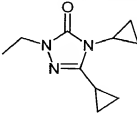
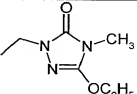
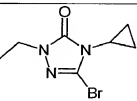
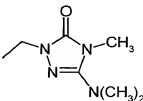
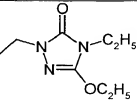
This gives 11.7 g (90% of theory) of 4-methyl-5-trifluoromethyl-2-(2,6-dichloro-3-carboxy-benzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one of melting point 207°C.

By the methods of Examples (III-1) and (III-3), it is also possible to prepare, for example, the compounds of the general formula (III) listed in Table 2 below.

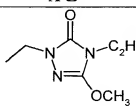
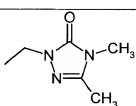
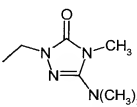
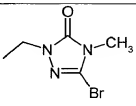
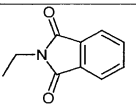
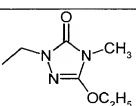


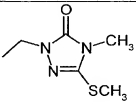
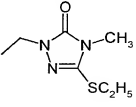
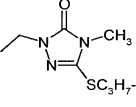
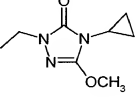
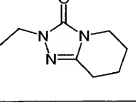
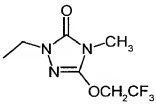
25

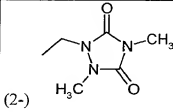
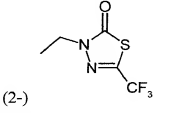
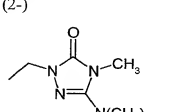
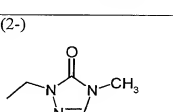
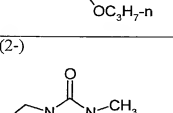
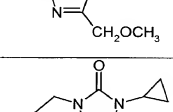
**Table 2:** Examples of compounds of the formula (III)

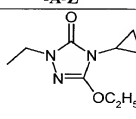
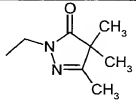
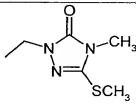
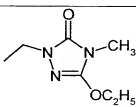
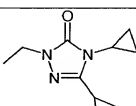
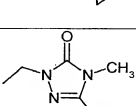
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-4	(4-) Cl	H	 (2-)	logP = 1.39 <sup>a)</sup>
III-5	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (3-)	logP = 1.47 <sup>a)</sup>
III-6	(4-) F	H	 (2-)	logP = 1.73 <sup>a)</sup>
III-7	(4-) CF <sub>3</sub>	H	 (2-)	logP = 1.65 <sup>a)</sup>
III-8	(4-) Br	H	 (2-)	logP = 1.74 <sup>a)</sup>
III-9	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.43 <sup>a)</sup>

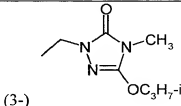
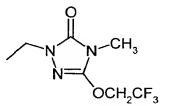
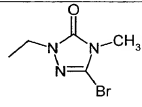
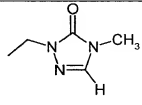
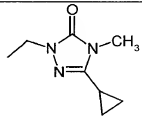
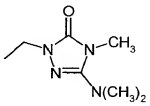


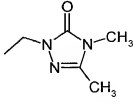
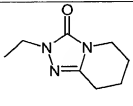
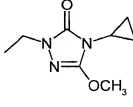
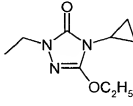
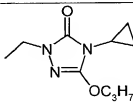
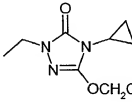
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-10	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.12 <sup>a)</sup>
III-11	(4-) CF <sub>3</sub>	H	 (2-)	logP = 1.61 <sup>a)</sup>
III-12	(4-) CF <sub>3</sub>	H	 (2-)	logP = 1.93 <sup>a)</sup>
III-13	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.01 <sup>a)</sup>
III-14	(4-) CF <sub>3</sub>	H	 (2-)	logP = 1.77 <sup>a)</sup>
III-15	(3-) CH <sub>3</sub>	H	 (2-)	logP = 1.70 <sup>a)</sup>

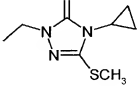
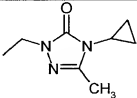
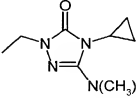
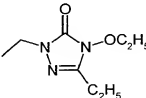
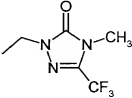
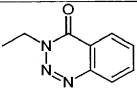
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-16	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	logP = 1.07 <sup>a)</sup>
III-17	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.35 <sup>a)</sup>
III-18	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.63 <sup>a)</sup>
III-19	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.13 <sup>a)</sup>
III-20	(4-) CF <sub>3</sub>	H	 (2-)	logP = 1.82 <sup>a)</sup>
III-21	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.48 <sup>a)</sup>

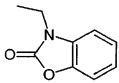
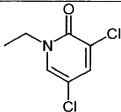
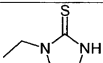
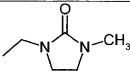
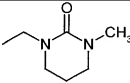
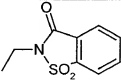
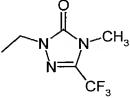
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-22	(4-) CF <sub>3</sub>	H	 (2-)	logP = 1.73 <sup>a)</sup>
III-23	(4-) CF <sub>3</sub>	H	 (2-)	logP = 3.11 <sup>a)</sup>
III-24	(4-) F	H	 (2-)	logP = 1.43 <sup>a)</sup>
III-25	(4-) F	H	 (2-)	logP = 1.97 <sup>a)</sup>
III-26	(4-) F	H	 (2-)	logP = 1.30 <sup>a)</sup>
III-27	(4-) F	H	 (2-)	logP = 1.63 <sup>a)</sup>

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-28	(4-) F	H	 (2-)	logP = 1.93 <sup>a)</sup>
III-29	(4-) CF <sub>3</sub>	H	 (2-)	logP = 1.78 <sup>a)</sup>
III-30	(2-) Cl	(4-) Cl	 (3-)	m.p.: 230°C logP = 1.63 <sup>a)</sup>
III-31	(2-) Cl	(4-) Cl	 (3-)	m.p.: 190°C logP = 1.73 <sup>a)</sup>
III-32	(2-) Cl	(4-) Cl	 (3-)	m.p.: 210°C logP = 1.87 <sup>a)</sup>
III-33	(2-) Cl	(4-) Cl	 (3-)	m.p.: 210°C logP = 1.43 <sup>a)</sup>

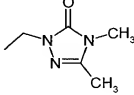
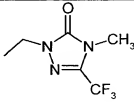
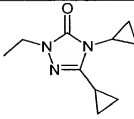
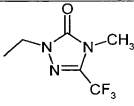
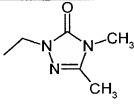
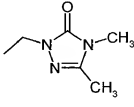
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-34	(2-) Cl	(4-) Cl	 (3-)	m.p.: 164°C logP = 2.01 <sup>a)</sup>
III-35	(2-) Cl	(4-) Cl	 (3-)	m.p.: 168°C logP = 2.04 <sup>a)</sup>
III-36	(2-) Cl	(4-) Cl	 (3-)	m.p.: 218°C logP = 1.53 <sup>a)</sup>
III-37	(2-) Cl	(4-) Cl	 (3-)	m.p.: 259°C logP = 0.98 <sup>a)</sup>
III-38	(2-) Cl	(4-) Cl	 (3-)	m.p.: 210°C logP = 1.56 <sup>a)</sup>
III-39	(2-) Cl	(4-) Cl	 (3-)	m.p.: 197°C logP = 1.51 <sup>a)</sup>

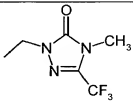
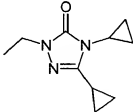
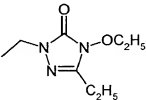
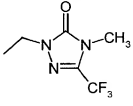
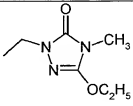
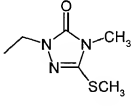
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-40	(2-) Cl	(4-) Cl	 (3-)	m.p.: 262°C logP = 1.11 <sup>a)</sup>
III-41	(2-) Cl	(4-) Cl	 (3-)	m.p.: 249°C logP = 1.30 <sup>a)</sup>
III-42	(2-) Cl	(4-) Cl	 (3-)	m.p.: 200°C logP = 1.71 <sup>a)</sup>
III-43	(2-) Cl	(4-) Cl	 (3-)	m.p.: 189°C logP = 2.01 <sup>a)</sup>
III-44	(2-) Cl	(4-) Cl	 (3-)	m.p.: 178°C logP = 2.28 <sup>a)</sup>
III-45	(2-) Cl	(4-) Cl	 (3-)	m.p.: 161°C logP = 2.31 <sup>a)</sup>

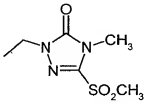
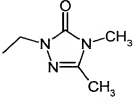
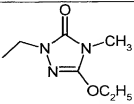
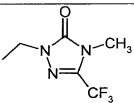
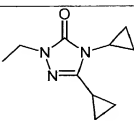
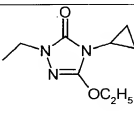
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-46	(2-) Cl	(4-) Cl	 (3-)	m.p.: 200°C logP = 1.98 <sup>a)</sup>
III-47	(2-) Cl	(4-) Cl	 (3-)	m.p.: 201°C logP = 1.39 <sup>a)</sup>
III-48	(2-) Cl	(4-) Cl	 (3-)	m.p.: 207°C logP = 1.77 <sup>a)</sup>
III-49	(2-) Cl	(4-) Cl	 (3-)	m.p.: 140°C logP = 1.88 <sup>a)</sup>
III-50	(4-) OCH <sub>2</sub> CHF <sub>2</sub>	H	 (2-)	m.p.: 154°C logP = 2.14 <sup>a)</sup>
III-51	H	H	 (2-)	m.p.: 214°C logP = 1.87 <sup>a)</sup>

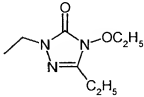
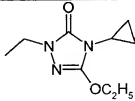
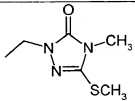
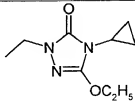
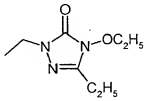
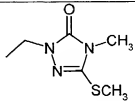
Ex. No.	(position-) R <sup>2</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-52	H	H	 (2-)	m.p.: 194°C logP = 2.07 <sup>a)</sup>
III-53	H	H	 (2-)	m.p.: 181°C logP = 1.97 <sup>a)</sup>
III-54	H	H	 (2-)	m.p.: 251°C logP = 1.14 <sup>a)</sup>
III-55	(2-) Cl	(4-) Cl	 (3-)	logP = 1.38 <sup>a)</sup>
III-56	(2-) Cl	(4-) Cl	 (3-)	logP = 1.48 <sup>a)</sup>
III-57	(2-) Cl	(4-) Cl	 (3-)	
III-58	(4-) Cl	H	 (2-)	<sup>1</sup> H NMR (DMSO-D <sub>6</sub> , δ): 5.42 ppm.

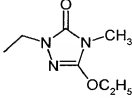
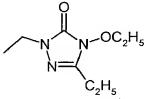
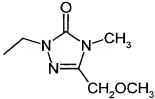
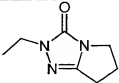
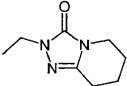
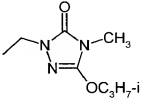


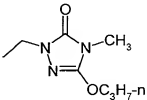
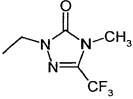
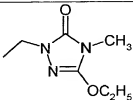
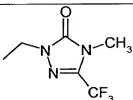
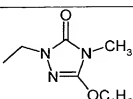
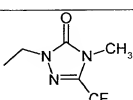
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-59	(4-) CF <sub>3</sub>	H	 (2-)	<sup>1</sup> H NMR (DMSO-D <sub>6</sub> , δ): 5.48 ppm.
III-60	(4-) CF <sub>3</sub>	H	 (2-)	<sup>1</sup> H NMR (DMSO-D <sub>6</sub> , δ): 5.60 ppm. LogP = 2.47 <sup>a)</sup>
III-61	(4-) CF <sub>3</sub>	H	 (2-)	logP = 2.33 <sup>a)</sup>
III-62	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (3-)	<sup>1</sup> H NMR (DMSO-D <sub>6</sub> , δ): 5.14 ppm.
III-63	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	<sup>1</sup> H NMR (DMSO-D <sub>6</sub> , δ): 5.27 ppm.
III-64	(4-) Cl	H	 (3-)	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.12 ppm.

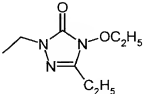
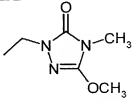
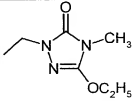
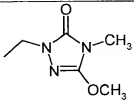
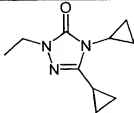
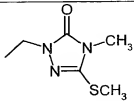
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-65	(4-) Cl	H	 (3-)	<sup>1</sup> H NMR (DMSO-D6, δ): 5.20 ppm.
III-66	(4-) Cl	H	 (2-)	<sup>1</sup> H NMR (DMSO-D6, δ): 5.03 ppm.
III-67	(4-) Br	H	 (2-)	<sup>1</sup> H NMR (DMSO-D6, δ): 5.24 ppm.
III-68	(4-) Br	H	 (2-)	<sup>1</sup> H NMR (DMSO-D6, δ): 5.39 ppm.
III-69	(4-) F	H	 (2-)	<sup>1</sup> H NMR (DMSO-D6, δ): 5.19 ppm.
III-70	(4-) F	H	 (2-)	<sup>1</sup> H NMR (DMSO-D6, δ): 5.30 ppm.

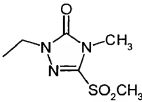
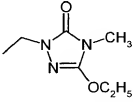
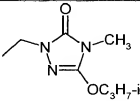
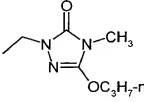
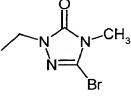
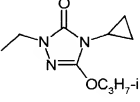
Ex. No.	(position-) $R^3$	(position-) $(R^4)_n$	(position-) -A-Z	physical data
III-71	(4-) F	H	(2-) 	$^1\text{H}$ NMR (DMSO-D <sub>6</sub> , $\delta$ ): 5.43 ppm.
III-72	(4-) Br	H	(3-) 	$^1\text{H}$ NMR, (CDCl <sub>3</sub> , $\delta$ ): 5.10 ppm.
III-73	(4-) Br	H	(3-) 	$^1\text{H}$ NMR (DMSO-D <sub>6</sub> , $\delta$ ): 5.03 ppm.
III-74	(4-) Br	H	(3-) 	$^1\text{H}$ NMR (DMSO-D <sub>6</sub> , $\delta$ ): 5.19 ppm.
III-75	(4-) Br	H	(2-) 	$^1\text{H}$ NMR (DMSO-D <sub>6</sub> , $\delta$ ): 5.01 ppm.
III-76	(4-) Cl	H	(2-) 	$^1\text{H}$ NMR (DMSO-D <sub>6</sub> , $\delta$ ): 5.14 ppm.

Ex. No.	(position-) R <sup>1</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-77	(4-) Cl	H	(2-) 	<sup>1</sup> H NMR (DMSO-D6, δ): 5.25 ppm.
III-78	(4-) NO <sub>2</sub>	H	(2-) 	<sup>1</sup> H NMR (DMSO-D6, δ): 5.23 ppm.
III-79	(4-) NO <sub>2</sub>	H	(2-) 	<sup>1</sup> H NMR (DMSO-D6, δ): 5.37 ppm.
III-80	(4-) CF <sub>3</sub>	H	(2-) 	logP = 2.46 <sup>a)</sup>
III-81	(4-) CF <sub>3</sub>	H	(2-) 	<sup>1</sup> H NMR (DMSO-D6, δ): 5.31 ppm.
III-82	(4-) CF <sub>3</sub>	H	(2-) 	logP = 2.08 <sup>a)</sup>

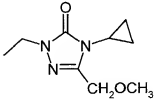
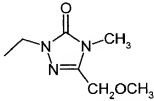
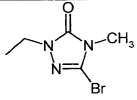
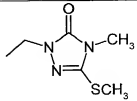
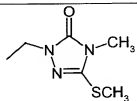
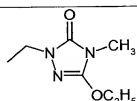
Ex. No.	(position-) R <sup>1</sup>	(position-) (R <sup>1</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-83	(4-) OCH <sub>3</sub>	H	 (2-)	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.38 ppm.
III-84	(4-) OCH <sub>3</sub>	H	 (2-)	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.43 ppm.
III-85	(4-) CF <sub>3</sub>	H	 (2-)	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.47 ppm.
III-86	(4-) Br	H	 (2-)	LogP = 1.44 <sup>a)</sup>
III-87	(4-) Br	H	 (2-)	LogP = 1.63 <sup>a)</sup>
III-88	(4-) Br	H	 (2-)	LogP = 2.27 <sup>a)</sup>

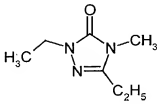
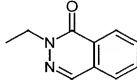
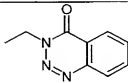
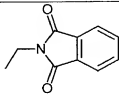
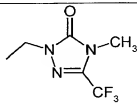
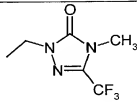
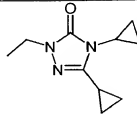
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-89	(4-) Br	H	(2-) 	LogP = 2.31 <sup>a)</sup>
III-90	H	H	(2-) 	LogP = 1.82 <sup>a)</sup>
III-91	(4-) Br	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.32 ppm.
III-92	(4-) Br	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.53 ppm.
III-93	(4-) F	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.39 ppm.
III-94	(4-) F	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.57 ppm.

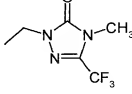
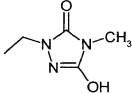
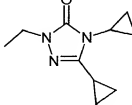
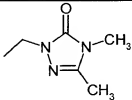
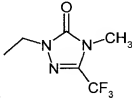
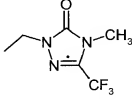
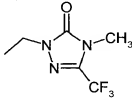
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-95	(4-) F	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.44 ppm.
III-96	(4-) F	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.41 ppm.
III-97	H	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.34 ppm.
III-98	H	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.38 ppm.
III-99	H	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.26 ppm.
III-100	H	H	(2-) 	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.43 ppm.

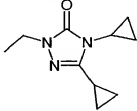
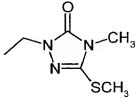
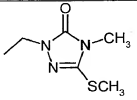
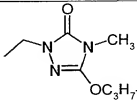
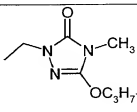
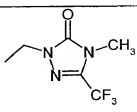
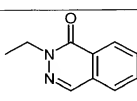
Ex. No.	(position-) $R^3$	(position-) $(R^4)_n$	(position-) -A-Z	physical data
III-101	H	H	(2-) 	LogP = 1.23 <sup>a)</sup>
III-102	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	(2-) 	logP = 1.14 <sup>a)</sup>
III-103	(4-) CF <sub>3</sub>	H	(2-) 	logP = 2.45 <sup>a)</sup>
III-104	(4-) CF <sub>3</sub>	H	(2-) 	logP = 2.48 <sup>a)</sup>
III-105	(4-) Br	H	(2-) 	logP = 1.85 <sup>a)</sup>
III-106	(4-) CF <sub>3</sub>	H	(3-) 	logP = 2.74 <sup>a)</sup>

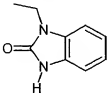
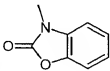
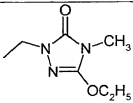
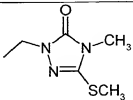


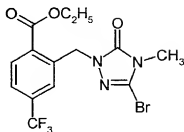
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-107	(4-) CF <sub>3</sub>	H	(2-) 	logP = 2.01 <sup>a)</sup>
III-108	(4-) CF <sub>3</sub>	H	(2-) 	logP = 1.79 <sup>a)</sup>
III-109	(4-) CF <sub>3</sub>	H	(2-) 	logP = 1.65 <sup>a)</sup>
III-110	(4-) Br	H	(2-) 	logP = 1.90 <sup>a)</sup>
III-111	(4-) Cl	H	(2-) 	logP = 1.83 <sup>a)</sup>
III-112	(4-) I	H	(2-) 	logP = 2.06 <sup>a)</sup>

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>1</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-113	(4-) I	H	(2-) 	
III-114	(4-) Br	H	(2-) 	m.p.: 191°C
III-115	(4-) Br	H	(2-) 	m.p.: 213°C
III-116	H	H	(2-) 	
III-117	H	H	(2-) 	m.p.: 112°C
III-118	(4-) CF <sub>3</sub>	H	(2-) 	m.p.: 158°C
III-119	(4-) CF <sub>3</sub>	H	(2-) 	m.p.: 162°C

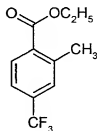
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-120	(4-) Cl	(5-) Cl	 (2-)	m.p.: 167°C
III-121	H	H		m.p.: 188°C
III-122	H	H	 (2-)	
III-123	H	H		m.p.: 131°C
III-124	(4-) Cl	H	 (2-)	m.p.: 109°C
III-125	(4-) I	H	 (2-)	m.p.: 104°C
III-126	(4-) Br	H	 (2-)	m.p.: 99°C

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-127	(4-) Br	H	 (2-)	m.p.: 174°C
III-128	H	H	 (2-)	m.p.: 122°C
III-129	(4-) Br	H	 (2-)	m.p.: 164°C
III-130	H	H	 (2-)	m.p.: 154°C
III-131	(4-) Br	H	 (2-)	m.p.: 161°C
III-132	(4-) CN	H	 (2-)	m.p.: 196°C
III-133	H	H	 (2-)	m.p.: 192°C

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	physical data
III-134	H	H	 (2-)	
III-135	(4-) Br	H	 (2)	m.p.: 252°C
III-136	(2-) NO <sub>2</sub>	(3-) OCH <sub>3</sub>	 (4-)	logP = 1.65 <sup>a)</sup>
III-137	(2-) NO <sub>2</sub>	(3-) OCH <sub>3</sub>	 (4-)	logP = 1.58 <sup>a)</sup>

**Starting materials of the formula (IV):****Example (IV-1)**

5

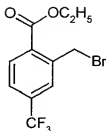
**Step 1**

10 10 g (49 mmol) of 2-methyl-4-trifluoromethyl-benzoic acid are dissolved in 150 ml of ethanol and admixed with 1 ml of conc. sulphuric acid. The solution is heated under reflux for 24 hours and then concentrated, and the residue is taken up in methylene chloride and extracted with saturated aqueous sodium bicarbonate solution. The methylene chloride phase is dried over sodium sulphate and concentrated under water pump vacuum.

15

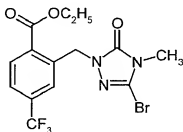
This gives 9 g (80% of theory) of ethyl 2-methyl-4-trifluoromethyl-benzoate as an amorphous residue.

- 101 -

Step 2

9 g (39 mmol) of ethyl 2-methyl-4-trifluoromethyl-benzoate are dissolved in 200 ml of tetrachloromethane and admixed with 7 g (39 mmol) of *N*-bromo-succinimide and 0.1 g of dibenzoyl peroxide. The mixture is heated under reflux for 6 hours, and the precipitated succinimide is then filtered off and the filtrate is concentrated under water pump vacuum.

This gives 12 g of an amorphous residue which, in addition to ethyl 2-bromomethyl-4-trifluoromethyl-benzoate, contains 17% of ethyl 2,2-dibromomethyl-4-trifluoromethyl-benzoate and 12% of ethyl 2-methyl-4-trifluoromethyl-benzoate.

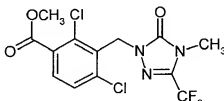
Step 3

4 g of ethyl 2-bromomethyl-4-trifluoromethyl-benzoate (approximately 70% pure) and 2.28 g (12.8 mmol) of 5-bromo-4-methyl-2,4-dihydro-3H-1,2,4-triazol-3-one are dissolved in 150 ml of acetonitrile, admixed with 5.3 g (38.4 mmol) of potassium carbonate and heated under reflux with vigorous stirring for 2 hours. The reaction mixture is taken up in water and extracted repeatedly with methylene chloride. The combined methylene chloride phases are dried over sodium sulphate, concentrated under water pump vacuum and chromatographed.

This gives 2 g (38 % of theory) of 5-bromo-4-methyl-2-(2-ethoxycarbonyl-5-trifluoromethyl-benzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one as an amorphous product.

$^1\text{H-NMR}$  ( $\text{CDCl}_3$ ,  $\delta$ ): 5.46 ppm.

5 **Example (IV-2)**

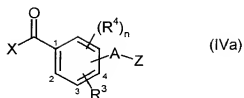


6.7 g (40 mmol) of 4-methyl-5-trifluoromethyl-2,4-dihydro-3H-1,2,4-triazol-3-one are initially charged in 150 ml of acetonitrile and admixed with 11 g (80 mmol) of potassium carbonate. The mixture is heated to 50°C, and a solution of 13.1 g (44 mmol) of methyl 3-bromomethyl-2,4-dichloro-benzoate in 20 ml of acetonitrile is then added dropwise with stirring, and the reaction mixture is heated under reflux with stirring for another 15 hours. The mixture is subsequently concentrated under water pump vacuum, and the residue is taken up in methylene chloride, washed with 1N hydrochloric acid, dried with sodium sulphate and filtered. The filtrate is concentrated under reduced pressure, the residue is digested with petroleum ether and the crystalline product is isolated by filtration with suction.

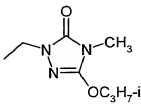
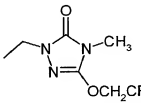
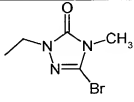
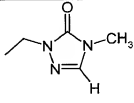
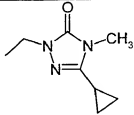
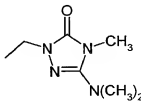
This gives 14.9 g (97% of theory) of 4-methyl-5-trifluoromethyl-2-(2,6-dichloro-3-methoxycarbonyl-benzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one of melting point 109°C.

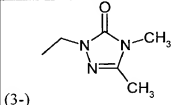
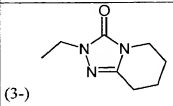
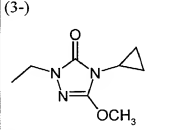
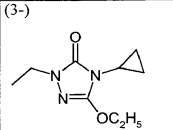
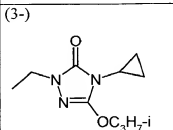
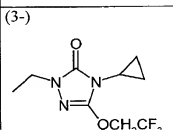
By the methods of Examples (IV-1) and (IV-2), it is also possible to prepare, for example, the compounds of the general formula (IVa) listed in Table 3 below.

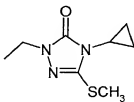
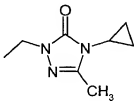
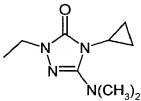
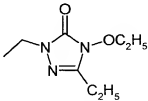
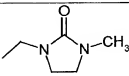
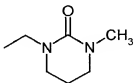


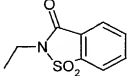
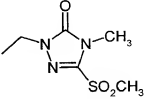
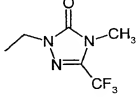
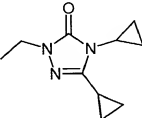
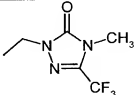
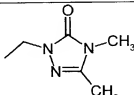
**Table 3:** Examples of compounds of the formula (IV)

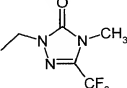
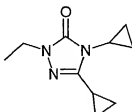

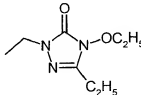
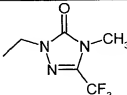
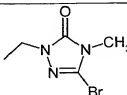
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-3	(2-) Cl	(4-) Cl	<p>(3-)</p>	OCH <sub>3</sub>	m.p.: 229°C logP = 2.27 <sup>a)</sup>
IV-4	(2-) Cl	(4-) Cl	<p>(3-)</p>	OCH <sub>3</sub>	m.p.: 120°C logP = 2.38 <sup>a)</sup>
IV-5	(2-) Cl	(4-) Cl	<p>(3-)</p>	OCH <sub>3</sub>	m.p.: 127°C logP = 2.55 <sup>a)</sup>
IV-6	(2-) Cl	(4-) Cl	<p>(3-)</p>	OCH <sub>3</sub>	m.p.: 121°C logP = 2.04 <sup>a)</sup>

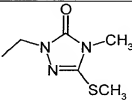
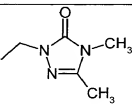
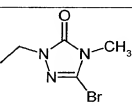
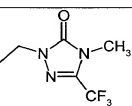
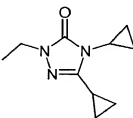
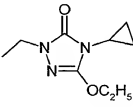
Ex. No.	(position-) R <sup>1</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-7	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 68°C logP = 2.73 <sup>a)</sup>
IV-8	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 129°C logP = 2.72 <sup>a)</sup>
IV-9	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 164°C logP = 2.18 <sup>a)</sup>
IV-10	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 158°C logP = 1.55 <sup>a)</sup>
IV-11	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 106°C logP = 2.16 <sup>a)</sup>
IV-12	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 126°C logP = 2.11 <sup>a)</sup>

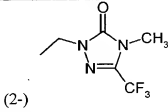
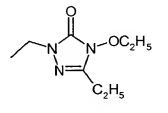
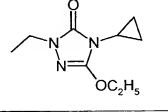
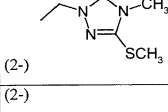
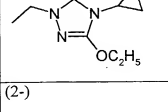
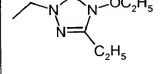
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-13	(2-) Cl	(4-) Cl	 (3-)	OCH <sub>3</sub>	m.p.: 146°C logP = 1.65 <sup>4)</sup>
IV-14	(2-) Cl	(4-) Cl	 (3-)	OCH <sub>3</sub>	m.p.: 178°C logP = 1.86 <sup>4)</sup>
IV-15	(2-) Cl	(4-) Cl	 (3-)	OCH <sub>3</sub>	m.p.: 97°C logP = 2.36 <sup>4)</sup>
IV-16	(2-) Cl	(4-) Cl	 (3-)	OCH <sub>3</sub>	m.p.: 99°C logP = 2.73 <sup>4)</sup>
IV-17	(2-) Cl	(4-) Cl	 (3-)	OCH <sub>3</sub>	m.p.: 56°C logP = 3.08 <sup>4)</sup>
IV-18	(2-) Cl	(4-) Cl	 (3-)	OCH <sub>3</sub>	m.p.: 102°C logP = 3.05 <sup>4)</sup>

Ex. No.	(position-) R <sup>2</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-19	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 131°C logP = 2.70 <sup>a)</sup>
IV-20	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 135°C logP = 1.97 <sup>a)</sup>
IV-21	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 143°C logP = 2.42 <sup>a)</sup>
IV-22	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 85°C logP = 2.58 <sup>a)</sup>
IV-23	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	logP = 1.98 <sup>a)</sup>
IV-24	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	logP = 2.07 <sup>a)</sup>

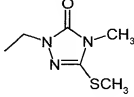
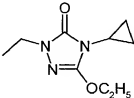
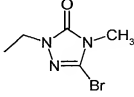
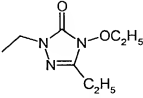
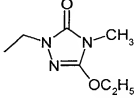
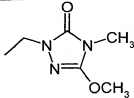
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-25	(2-) Cl	(4-) Cl	(3-) 	OCH <sub>3</sub>	m.p.: 157°C logP = 2.94 <sup>4)</sup>
IV-26	(4-) CF <sub>3</sub>	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.53 ppm.
IV-27	(4-) NO <sub>2</sub>	H	(3-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.48 ppm.
IV-28	(4-) NO <sub>2</sub>	H	(3-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.30 ppm.
IV-29	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	(3-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.61 ppm.
IV-30	(4-) Cl	H	(3-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.08 ppm.

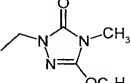
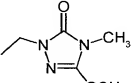
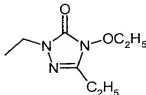
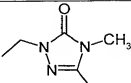
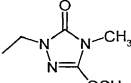

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-31	(4-) Cl	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.17 ppm.
IV-32	(4-) Cl	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.00 ppm
IV-33	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 1.53 <sup>a)</sup>
IV-34	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.24 <sup>a)</sup>
IV-35	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.40 <sup>a)</sup>
IV-36	(4-) F	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.41 <sup>a)</sup>

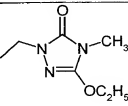
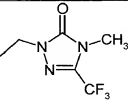
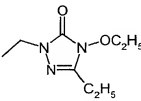
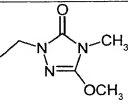
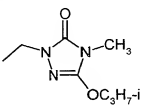
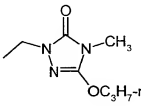
Ex. No.	(position-) R <sup>1</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-37	(4-) F	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.45 <sup>a)</sup>
IV-38	(4-) Br	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.06 <sup>a)</sup>
IV-39	(4-) Br	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.64 <sup>a)</sup>
IV-40	(4-) Br	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.23 <sup>a)</sup>
IV-41	(4-) Br	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.02 <sup>a)</sup>
IV-42	(4-) Cl	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.23 <sup>a)</sup>

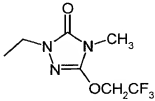
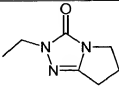
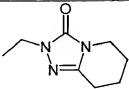
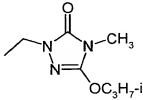
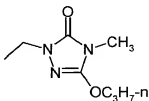

Ex. No.	(position-) R <sup>1</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-43	(4-) Cl	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.31 <sup>a)</sup>
IV-44	(4-) Cl	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.14 <sup>a)</sup>
IV-45	(4-) NO <sub>2</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.42 <sup>a)</sup>
IV-46	(4-) NO <sub>2</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.82 <sup>a)</sup>
IV-47	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.48 <sup>a)</sup>
IV-48	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.38 <sup>a)</sup>

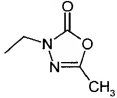
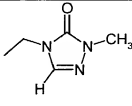
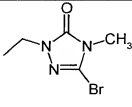
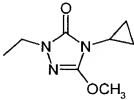
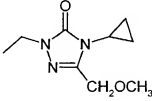
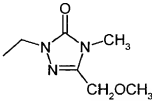


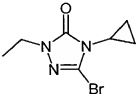
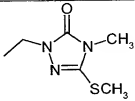
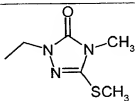
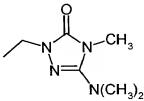
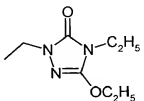
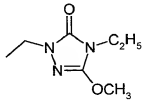
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-49	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.02 <sup>a)</sup>
IV-50	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>3</sub> H <sub>7</sub>	logP = 3.91 <sup>a)</sup>
IV-51	(4-) OCH <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	
IV-52	(4-) OCH <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	
IV-53	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.37 ppm.
IV-54	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.37 ppm.

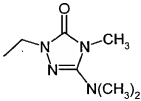
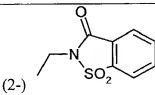
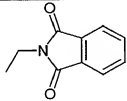
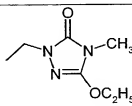
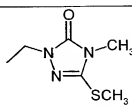
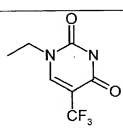
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-55	H	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	
IV-56	H	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.37 ppm.
IV-57	H	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.40 ppm.
IV-58	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.95 <sup>a)</sup>
IV-59	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.31 ppm.
IV-60	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.44 <sup>a)</sup>

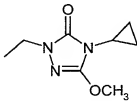
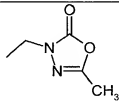
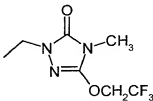
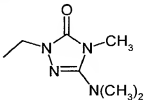
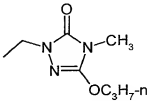
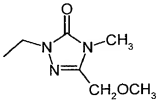
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-61	(4-) F	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.35 ppm.
IV-62	(4-) F	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.53 ppm.
IV-63	(4-) F	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.40 ppm.
IV-64	(4-) F	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.36 ppm.
IV-65	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.34 <sup>a)</sup>
IV-66	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.38 <sup>a)</sup>

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-67	(4-) Br	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 3.31 <sup>a)</sup>
IV-68	(4-) Br	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.16 <sup>a)</sup>
IV-69	(4-) Br	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.41 <sup>a)</sup>
IV-70	(4-) CF <sub>3</sub>	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 3.51 <sup>a)</sup>
IV-71	(4-) CF <sub>3</sub>	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 3.54 <sup>a)</sup>
IV-72	(4-) Br	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.36 <sup>a)</sup>

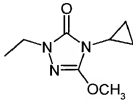
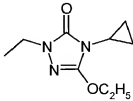
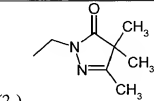
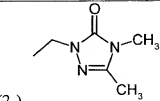
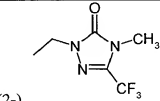
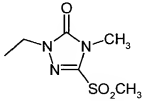
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-73	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.88 <sup>a)</sup>
IV-74	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.68 <sup>a)</sup>
IV-75	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.80 <sup>a)</sup>
IV-76	(4-) CF <sub>3</sub>	H	 (3-)	OC <sub>2</sub> H <sub>5</sub>	logP = 3.87 <sup>a)</sup>
IV-77	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.88 <sup>a)</sup>
IV-78	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.60 <sup>a)</sup>

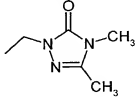
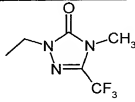
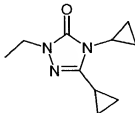
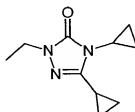
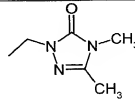
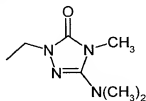
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-79	(4-) CF <sub>3</sub>	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 3.35 <sup>a)</sup>
IV-80	(4-) Br	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.86 <sup>a)</sup>
IV-81	(4-) Cl	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.83 <sup>a)</sup>
IV-82	(4-) Br	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.60 <sup>a)</sup>
IV-83	(4-) CF <sub>3</sub>	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.36 ppm.
IV-84	(4-) CF <sub>3</sub>	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.37 ppm.

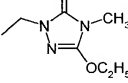
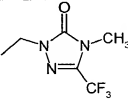
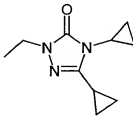
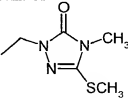
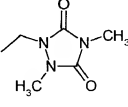
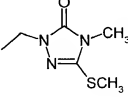
Ex. No.	(position-) $R^3$	(position-) $(R^4)_n$	(position-) -A-Z	X	physical data
IV-85	(4-) $CF_3$	H	(2-) 	$OC_2H_5$	$\log P = 2.79^{a)}$
IV-86	(4-) $CF_3$	H	(2-) 	$OC_2H_5$	$\log P = 3.67^{a)}$
IV-87	(4-) $CF_3$	H	(2-) 	$OC_2H_5$	$\log P = 3.80^{a)}$
IV-88	(3-) $CH_3$	H	(2-) 	$OC_2H_5$	$\log P = 2.54^{a)}$
IV-89	(4-) $SO_2CH_3$	H	(2-) 	$OC_2H_5$	$\log P = 1.82^{a)}$
IV-90	(4-) $CF_3$	H	(2-) 	$OC_2H_5$	$\log P = 2.93^{a)}$

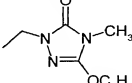
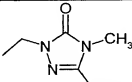
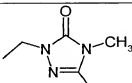
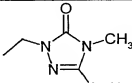
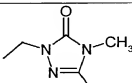
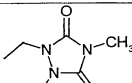
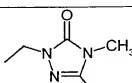
Ex. No.	(position-) $R^1$	(position-) $(R^4)_n$	(position-) $-A-Z$	X	physical data
IV-91	(4-) $CF_3$	H	(2-) 	$OC_2H_5$	$\log P = 3.08^{(a)}$
IV-92	(4-) $CF_3$	H	(2-) 	$OC_2H_5$	$\log P = 3.04^{(a)}$
IV-93	(4-) $CF_3$	H	(2-) 	$OC_2H_5$	$\log P = 3.45^{(a)}$
IV-94	(4-) F	H	(2-) 	$OC_2H_5$	$\log P = 2.21^{(a)}$
IV-95	(4-) F	H	(2-) 	$OC_2H_5$	$\log P = 2.96^{(a)}$
IV-96	(4-) F	H	(2-) 	$OC_2H_5$	$\log P = 2.05^{(a)}$



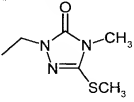
Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-97	(4-) F	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.50 <sup>a)</sup>
IV-98	(4-) F	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.89 <sup>a)</sup>
IV-99	(4-) CF <sub>3</sub>	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	logP = 2.91 <sup>a)</sup>
IV-100	(4-) Cl	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.39 ppm.
IV-101	(4-) Cl	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.50 ppm.
IV-102	(4-) Cl	H	(2-) 	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.49 ppm.

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-103	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.29 ppm.
IV-104	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.53 ppm.
IV-105	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.34 ppm.
IV-106	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.39 ppm.
IV-107	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.43 ppm.
IV-108	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.40 ppm.

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-109	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.38 ppm.
IV-110	(4-) Br	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.49 ppm.
IV-111	H	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.3 ppm.
IV-112	H	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	<sup>1</sup> H NMR (CDCl <sub>3</sub> , δ): 5.44 ppm.
IV-113	(4-) CF <sub>3</sub>	H	 (2-)	OC <sub>2</sub> H <sub>5</sub>	logP = 2.58 <sup>a)</sup>
IV-114	(4-) SO <sub>2</sub> CH <sub>3</sub>	H	 (2-)	OCH <sub>3</sub>	logP = 1.53 <sup>a)</sup>

Ex. No.	(position-) $R^3$	(position-) $(R^4)_n$	(position-) $-A-Z$	X	physical data
IV-115	(4-) $SO_2CH_3$	H	 (2-)	$OCH_3$	$\log P = 1.59^a$
IV-116	(4-) I	H	 (2-)	$OCH_3$	$\log P = 2.68^a$
IV-117	(4-) $CF_3$	H	 (2-)	$OCH_3$	$\log P = 2.74^a$
IV-118	(4-) $CF_3$	H	 (2-)	$OCH_3$	$\log P = 2.65^a$
IV-119	(4-) $CF_3$	H	 (2-)	$OC_2H_5$	$\log P = 2.96^a$
IV-120	H	H	 (2-)	$OCH_3$	m.p.: $106^\circ C$
IV-121	(2-) $NO_2$	(3-) $OCH_3$	 (4-)	$OCH_3$	$\log P = 2.27^a$

- 123 -

Ex. No.	(position-) R <sup>3</sup>	(position-) (R <sup>4</sup> ) <sub>n</sub>	(position-) -A-Z	X	physical data
IV-122	(2-) NO <sub>2</sub>	(3-) OCH <sub>3</sub>	 (4-)	OCH <sub>3</sub> logP = 2.19 <sup>a)</sup>	

The logP values given in the Tables were determined in accordance with EEC Directive 79/831 Annex V.A8 by HPLC (High Performance Liquid Chromatography) using a reversed-phase column (C 18). Temperature: 43°C.

(a) Mobile phases for the determination in the acidic range: 0.1% aqueous phosphoric acid, acetonitrile; linear gradient from 10% acetonitrile to 90% acetonitrile - the corresponding data in the Tables are labelled <sup>a)</sup>.

(b) Mobile phases for the determination in the neutral range: 0.01-molar aqueous phosphate buffer solution, acetonitrile; linear gradient from 10% acetonitrile to 90% acetonitrile - the corresponding data in the Tables are labelled <sup>b)</sup>.

Calibration was carried out using unbranched alkan-2-ones (having 3 to 16 carbon atoms) whose logP values are known (determination of the logP values using the retention times by linear interpolation between two successive alkanones).

The lambda-max values were determined using the UV spectra from 200 nm to 400 nm in the maxima of the chromatographic signals.

**Use examples:****Example A**

## 5 Pre-emergence test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15 Seeds of the test plants are sown in normal soil. After approximately 24 hours, the soil is sprayed with the preparation of active compound such that the particular amount of active compound desired is applied per unit area. The concentration of the spray liquor is chosen so that the particular amount of active compound desired is applied in 1000 litres of water per hectare.

20

After three weeks, the degree of damage to the plants is assessed in % damage in comparison to the development of the untreated control.

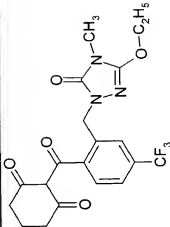
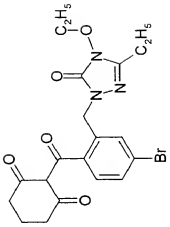
The figures denote:

25           0%    =    no effect (like untreated control)  
          100%   =   total destruction

In this test, for example the compounds of Preparation Example 1 and 10 exhibit strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize.

30

Table A: Pre emergence test/greenhouse

Active compound of Preparation Example No.	Amount used (g ai./ha)	Maize	Cyperus	Abutilon
 (1)	1000	-	100	100
 (10)	500	0	100	90

**Example B**

## Post-emergence test

- 5 Solvent: 5 parts by weight of acetone  
Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15 Test plants which have a height of 5 - 15 cm are sprayed with the preparation of active compound in such a way that the particular amounts of active compound desired are applied per unit area. The concentration of the spray liquor is chosen so that the particular amounts of active compound desired are applied in 1000 l of water/ha.

20 After three weeks, the degree of damage to the plants is assessed in % damage in comparison to the development of the untreated control.

The figures denote:

0% = no effect (like untreated control)

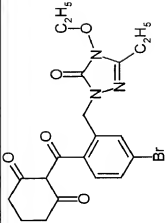
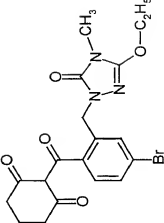
100% = total destruction

25

In this test, for example the compounds of Preparation Example 10 and 15 exhibit strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize.

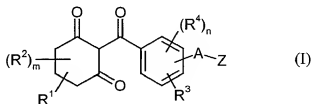


**Table B:** Post emergence test/greenhouse

Active compound of Preparation Example No.	Amount used (g ai./ha)	Maize	Amaranthus	Sinapis
 (10)	500	20	95	80
 (15)	1000	0	90	80

**Patent Claims**

1. Substituted benzoylcyclohexanediones of the general formula (I),



in which

m represents the numbers 0, 1, 2 or 3,

n represents the numbers 0, 1, 2 or 3,

A represents the single bond or represents alkanediyl (alkylene),

R<sup>1</sup> represents hydrogen or represents in each case optionally substituted alkyl or alkoxycarbonyl,

R<sup>2</sup> represents optionally substituted alkyl, or together with R<sup>1</sup> represents alkanediyl (alkylene) where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal"),

R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents in each case optionally substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl,

R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, or represents in each case optionally substituted alkyl, alkoxy, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylamino, dialkylamino or dialkylaminosulphonyl, and

Z represents an optionally substituted 4- to 12-membered, saturated or unsaturated, monocyclic or bicyclic, heterocyclic grouping which contains 1 to 4 heteroatoms (up to 4 nitrogen atoms and, if appropriate, - alternatively or additionally - one oxygen atom or one sulphur atom, or one SO grouping or one SO<sub>2</sub> grouping), and which additionally contains one to three oxo groups (C=O) and/or thioxo groups (C=S) as components of the heterocycle,

including all possible tautomeric forms of the compounds of the general formula (I) and the possible salts of the compounds of the general formula (I).

2. Substituted benzoylcyclohexanediones according to Claim 1, characterized in that

m represents the numbers 0, 1 or 2,

n represents the numbers 0, 1 or 2,

A represents a single bond or represents alkanediyl (alkylene) having 1 to 4 carbon atoms,

R<sup>1</sup> represents hydrogen, represents optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl having 1 to 6 carbon atoms or represents alkoxy-carbonyl having up to 6 carbon atoms,

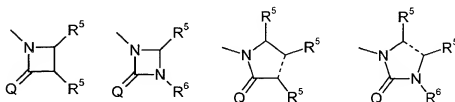
- 130 -

R<sup>2</sup> represents optionally halogen-substituted alkyl having 1 to 6 carbon atoms, or together with R<sup>1</sup> represents alkanediyl (alkylene) having 2 to 5 carbon atoms, where in this case m represents 1 and R<sup>1</sup> and R<sup>2</sup> are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal"),

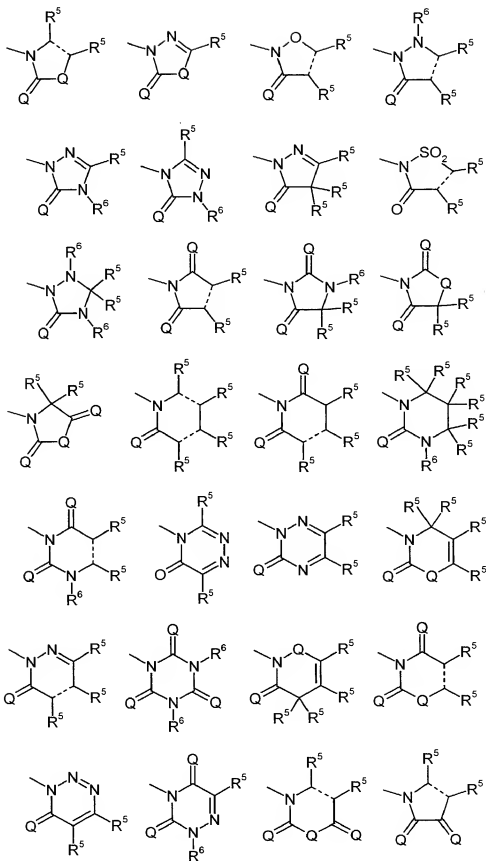
R<sup>3</sup> represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having in each case up to 4 carbon atoms in the alkyl groups,

R<sup>4</sup> represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, halogen, represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkoxy, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case up to 4 carbon atoms in the alkyl groups, or represents alkylamino, dialkylamino or dialkylaminosulphonyl having in each case up to 4 carbon atoms in the alkyl groups, and

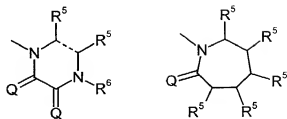
Z represents one of the heterocyclic groupings below



- 131 -



- 132 -



in which the bond drawn broken in each case denotes a single bond or a double bond,

Q represents oxygen or sulphur,

R<sup>5</sup> represents hydrogen, hydroxyl, mercapto, cyano, halogen, represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkylthio-, C<sub>1</sub>-C<sub>4</sub>-alkylsulphinyl- or C<sub>1</sub>-C<sub>4</sub>-alkylsulphonyl-substituted alkyl, alkylcarbonyl, alkoxy, alkoxy-carbonyl, alkylthio, alkylsulphinyl or alkylsulphonyl having in each case up to 6 carbon atoms in the alkyl groups, represents in each case optionally halogen-substituted alkylamino or dialkylamino having in each case up to 6 carbon atoms in the alkyl groups, represents in each case optionally halogen-substituted alkenyl, alkynyl, alkenyloxy, alkenylthio or alkenyl-amino having in each case up to 6 carbon atoms in the alkenyl or alkynyl groups, represents in each case optionally halogen-substituted cycloalkyl, cycloalkylalkyl, cycloalkyloxy, cyclo-alkylthio or cycloalkylamino having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally up to 4 carbon atoms in the alkyl moiety, or represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenyl, phenyloxy, phenylthio, phenylamino, benzyl, benzyloxy, benzylthio or benzylamino, and

- 5                   R<sup>6</sup>   represents hydrogen, hydroxyl, amino, alkylideneamino having up to 4 carbon atoms, represents in each case optionally halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl, alkoxy, alkyl-amino, dialkylamino or alkanoylamino having in each case up to 6 carbon atoms in the alkyl groups, represents in each case optionally halogen-substituted alkenyl, alkynyl or alkenyloxy having in each case up to 6 carbon atoms in the alkenyl or alkynyl groups, represents in each case optionally halogen-substituted cycloalkyl, cycloalkylalkyl or cycloalkylamino having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally up to 3 carbon atoms in the alkyl moiety, or represents in each case optionally halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenyl or benzyl, or together with an adjacent radical R<sup>5</sup> or R<sup>6</sup> represents optionally halogen- or C<sub>1</sub>-C<sub>4</sub>-alkyl-substituted alkanediyl having 3 to 5 carbon atoms, or - in the case that two adjacent radicals R<sup>5</sup> and R<sup>5</sup> are located at a double bond - together with the adjacent radical R<sup>5</sup> also represents a benzo grouping.
- 10
- 15
- 20   3.   Substituted benzoylcyclohexanediones according to Claim 1, characterized in that
- m    represents the numbers 0, 1 or 2,
- 25           n    represents the numbers 0, 1 or 2,
- A    represents a single bond, methylene, ethylidene (ethane-1,1-diyl) or dimethylene (ethane-1,2-diyl),
- 30           R<sup>1</sup>  represents hydrogen, represents in each case optionally fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-,

n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i- or s-butyl, or represents methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

$R^2$  represents methyl, ethyl, n- or i-propyl, or together with  $R^1$  represents methylene, ethane-1,1-diyl (ethylidene,  $-\text{CH}(\text{CH}_3)-$ ), ethane-1,2-diyl (dimethylene,  $-\text{CH}_2\text{CH}_2-$ ), propane-1,3-diyl (trimethylene,  $-\text{CH}_2\text{CH}_2\text{CH}_2-$ ), butane-1,4-diyl (tetramethylene,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-$ ) or pentane-1,5-diyl (pentamethylene,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-$ ), where in this case m represents 1 and  $R^1$  and  $R^2$  are located at the same carbon atom ("geminal") or at two adjacent carbon atoms ("vicinal"),

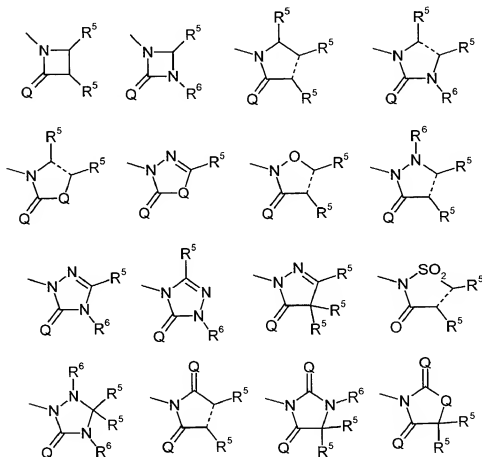
$R^3$  represents hydrogen, nitro, cyano, carboxyl, carbamoyl, thio-carbamoyl, fluorine, chlorine, bromine, represents in each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methoxy, ethoxy, n- or i-propoxy, represents in each case optionally fluorine- and/or chlorine-substituted methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, dimethylaminosulphonyl or diethylaminosulphonyl,

$R^4$  represents nitro, cyano, carboxyl, carbamoyl, thiocarbamoyl, fluorine, chlorine, bromine, represents in each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, methylthio-, ethylthio-,

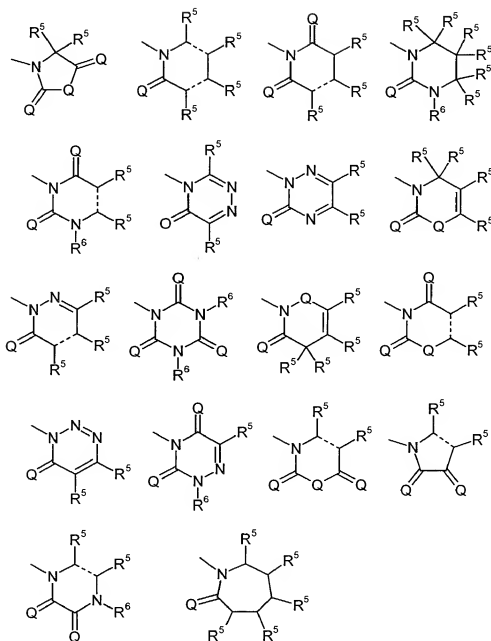


n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine- and/or chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methoxy, ethoxy, n- or i-propoxy, represents in each case optionally fluorine- and/or chlorine-substituted methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, dimethylaminosulphonyl or diethylaminosulphonyl, and

Z represents one of the heterocyclic groupings below



- 136 -



in which the bond drawn broken in each case denotes a single bond or a double bond,

Q represents oxygen or sulphur,

5

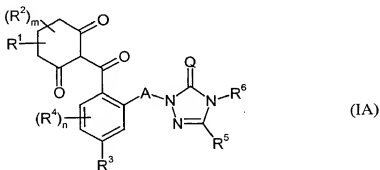
R<sup>5</sup> represents hydrogen, hydroxyl, mercapto, cyano, fluorine, chlorine, bromine, iodine, represents in each case optionally

- fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-, n-, i-, s- or t-butoxy-, methylthio-, ethylthio-, n- or i-propylthio-, n-, i-, s- or t-butylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, n-, i-, s- or t-butoxy, methylthio, ethylthio, n- or i-propylthio, n-, i-, s- or t-butylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, represents methylamino, ethylamino, n- or i-propylamino, n-, i-, s- or t-butylamino, dimethylamino, diethylamino, di-n-propylamino or di-i-propylamino, represents in each case optionally fluorine- and/or chlorine-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl, butinyl, propenyloxy, butenyloxy, propenylthio, butenylthio, propenylamino or butenylamino, represents in each case optionally fluorine- and/or chlorine-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, cyclopropylthio, cyclobutylthio, cyclopentylthio, cyclohexylthio, cyclopropylamino, cyclobutylamino, cyclopentylamino or cyclohexylamino, or represents in each case optionally fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, methoxy-, ethoxy-, n- or i-propoxy-substituted phenyl, phenyloxy, phenylthio, phenylamino, benzyl, benzyloxy, benzylthio or benzylamino, and
- R<sup>6</sup> represents hydrogen, hydroxyl, amino, represents in each case optionally fluorine- and/or chlorine-, methoxy-, or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i- or s-butyl,

- 138 -

methoxy, ethoxy, n- or i-propoxy, methylamino, ethylamino or dimethylamino, represents in each case optionally fluorine- and/or chlorine-substituted ethenyl, propenyl, ethinyl, propinyl or propenyloxy, represents in each case optionally fluorine- and/or chlorine-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, or represents in each case optionally fluorine-, chlorine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, methoxy-, ethoxy-, n- or i-propoxy-substituted phenyl or benzyl, or together with an adjacent radical  $R^5$  or  $R^6$  represents in each case optionally methyl- and/or ethyl-substituted propane-1,3-diyl (trimethylene) or butane-1,4-diyl (tetramethylene), or - in the case that two adjacent radicals  $R^5$  and  $R^5$  are located at a double bond - together with the adjacent radical  $R^5$  also represents a benzo grouping.

4. Substituted benzoylcyclohexanediones according to Claim 1, characterized by the general formula (IA),



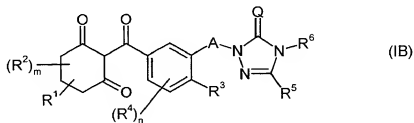
in which

m represents the numbers 0, 1 or 2,

- 139 -

- n represents the numbers 0, 1 or 2,
- A represents a single bond or represents methylene,
- 5 Q represents oxygen or sulphur,
- R<sup>1</sup> represents hydrogen, methyl, ethyl, n- or i-propyl,
- R<sup>2</sup> represents methyl,
- 10 R<sup>3</sup> represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,
- 15 R<sup>4</sup> represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,
- 20 R<sup>5</sup> represents methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents cyclopropyl, and
- 25 R<sup>6</sup> represents methyl, ethyl, methoxy, ethoxy or cyclopropyl.
- 30

5. Substituted benzoylcyclohexanediones according to Claim 1, characterized by the general formula (IB),



in which

in which

m represents the numbers 0, 1 or 2,

n represents the numbers 0, 1 or 2,

A represents a single bond or represents methylene,

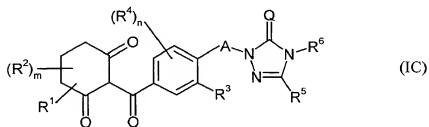
Q represents oxygen or sulphur,

R<sup>1</sup> represents hydrogen, methyl, ethyl, n- or i-propyl,

R<sup>2</sup> represents methyl,

R<sup>3</sup> represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,

- 5  $R^4$  represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,
- 10  $R^5$  represents methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents cyclopropyl, and
- 15  $R^6$  represents methyl, ethyl, methoxy, ethoxy or cyclopropyl.
6. Substituted benzoylcyclohexanediones according to Claim 1, characterized by the general formula (IC),



20

in which

m represents the numbers 0, 1 or 2,

25 n represents the numbers 0, 1 or 2,

A represents a single bond or represents methylene,

- 142 -

- Q represents oxygen or sulphur,
- 5 R<sup>1</sup> represents hydrogen, methyl, ethyl, n- or i-propyl,
- R<sup>2</sup> represents methyl,
- 10 R<sup>3</sup> represents hydrogen, nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,
- 15 R<sup>4</sup> represents nitro, cyano, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxymethyl, methylthiomethyl, methylsulphinylmethyl, methylsulphonylmethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl or dimethylamino-sulphonyl,
- 20 R<sup>5</sup> represents methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, or represents cyclopropyl, and
- 25 R<sup>6</sup> represents methyl, ethyl, methoxy, ethoxy or cyclopropyl.
- 30 7. Substituted benzoylcyclohexanediones according to any of Claims 1 to 6, characterized in that the salts are the sodium, potassium, magnesium, calcium,

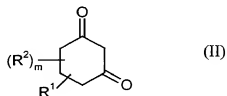


- 143 -

ammonium, C<sub>1</sub>-C<sub>4</sub>-alkyl-ammonium, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tetra-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-sulphonium, C<sub>5</sub>- or C<sub>6</sub>-cycloalkyl-ammonium and di-(C<sub>1</sub>-C<sub>2</sub>-alkyl)-benzyl-ammonium salts.

5

8. Process for preparing substituted benzoylcyclohexanediones according to any of Claims 1 to 6, characterized in that 1,3-cyclohexanedione or its derivatives of the general formula (II),



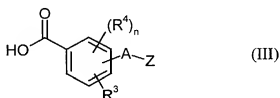
10

in which

m, R<sup>1</sup> and R<sup>2</sup> are each as defined in any of Claims 1 to 6,

15

are reacted with substituted benzoic acids of the general formula Formel (III),



in which

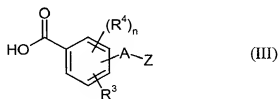
20

n, A, R<sup>3</sup>, R<sup>4</sup> and Z are each as defined in any of Claims 1 to 6,

in the presence of a dehydrating agent, if appropriate in the presence of one or more reaction auxiliaries and if appropriate in the presence of a diluent,

and, if appropriate, the compounds of the formula (I) obtained in this manner are subsequently subjected in a customary manner, within the scope of the definition of the substituents, to electrophilic or nucleophilic or oxidation or reduction reactions, or the compounds of the formula (I) are converted in a customary manner into salts.

9. Substituted benzoic acids of the general formula (III),



- 10 in which

n, A, R<sup>3</sup>, R<sup>4</sup> and Z are each as defined in any of Claims 1 to 6,

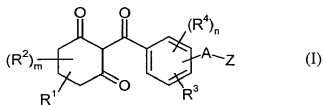
- 15 except for the compounds 2-(5-carboxy-2,4-dichloro-phenyl)-4-difluoromethyl-5-methyl-2,4-dihydro-3H-1,2,4-triazol-3-one and 2-(5-carboxy-2,4-dichloro-phenyl)-4,5-dimethyl-2,4-dihydro-3H-1,2,4-triazol-3-one.

10. Use of at least one substituted benzoylcyclohexanedione according to any of Claims 1 to 6 for controlling undesirable plants.
- 20 11. Herbicidal compositions, characterized in that they contain at least one substituted benzoylcyclohexanedione according to any of Claims 1 to 6 and customary extenders.

- 145 -

**Substituted benzoylcyclohexanediones****A b s t r a c t**

The invention relates to novel substituted benzoylcyclohexanediones of the general formula (I),



in which

$m$ ,  $n$ ,  $A$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $Z$  are each as defined in the description,

and also to processes for their preparation and to their use as herbicides.

## COMBINED DECLARATION AND POWER OF ATTORNEY

ATTORNEY DOCKET NO

As a below named inventor, I hereby declare that:

My residence, post office address and citizenship are as stated below next to my name. I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled

**SUBSTITUTED BENZOYL CYCLOHEXANDIONES**

the specification of which is attached hereto,

or was filed on **July 13, 1999**

as a PCT Application Serial No. **PCT/EP99/04929**

I hereby state that I have reviewed and understand the contents of the above-identified specification, including the claims.

I acknowledge the duty to disclose information which is material to the patentability of this application in accordance with Title 37, Code of Federal Regulations, §1.56.

I hereby claim foreign priority benefits under Title 35, United States Code, §119 of any foreign application(s) for patent or inventor's certificate listed below and have also identified below any foreign application for patent or inventor's certificate having a filing date before that of the application on which priority is claimed:

Prior Foreign Application(s), the priority(ies) of which is/are to be claimed:

**198 33 360.9**  
(Number)

**Germany**  
(Country)

**July 24, 1998**  
(Month/Day/Year Filed)

**199 21 732.7**  
(Number)

**Germany**  
(Country)

**May 11, 1999**  
(Month/Day/Year Filed)

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose the material information as defined in Title 37, Code of Federal Regulations, §1.56 which occurred between the filing date of the prior application and the national or PCT international filing date of this application:

(Application Serial No.)

(Filing Date)

(Status)

(patented, pending, abandoned)

(Application Serial No.)

(Filing Date)

(Status)

(patented, pending, abandoned)

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

**POWER OF ATTORNEY:** As a named inventor, I hereby appoint the following attorney(s) and/or agent(s) to prosecute this application and to transact all business in the Patent and Trademark Office connected therewith:

JOSEPH C. GIL, Patent Office Registration Number 26,602  
 ARON PREIS, Patent Office Registration Number 29,426  
 LYNDANNE M. WHALEN, Patent Office Registration Number 29,457  
 THOMAS W. ROY, Patent Office Registration Number 29,582  
 RICHARD E. L. HENDERSON, Patent Office Registration Number 31,619  
 GODFREY R. AKORLI, Patent Office Registration Number 28,779  
 N. DENISE BROWN, Patent Office Registration Number 36,097  
 NOLAND J. CHEUNG, Patent Office Registration Number 39,138  
 DIDERICO VAN EYL, Patent Office Registration Number 38,641  
 CAROLYN M. SLOANE, Patent Office Registration Number 44,339  
 JAMES R. FRANKS, Patent Office Registration Number 42,552  
 JACKIE ANN ZURCHER, Patent Office Registration Number 42,251

all of Bayer Corporation, Pittsburgh, Pennsylvania 15205-9741



Send Correspondence To:  
Patent Department  
Bayer Corporation  
100 Bayer Road  
Pittsburgh, Pennsylvania 15205-9741

Direct Telephone Calls To:

(412) 777-2349

1-00	FULL NAME OF SOLE OR FIRST INVENTOR <u>Hans-Georg Schwarz</u>	INVENTOR'S SIGNATURE <u>Hans-Georg Schwarz</u>	DATE <u>2000-12-11</u>
	RESIDENCE D 40764 <u>Langenfeld</u> , Germany	CITIZENSHIP German	DEX
	POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
2-00	FULL NAME OF SECOND INVENTOR <u>Klaus-Helmut Müller</u>	INVENTOR'S SIGNATURE <u>Klaus-Helmut Müller</u>	DATE <u>2000-12-12</u>
	RESIDENCE D 40593 <u>Düsseldorf</u> , Germany	CITIZENSHIP Austrian	DEX
	POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
3-00	FULL NAME OF THIRD INVENTOR <u>Stefan Lehr</u>	INVENTOR'S SIGNATURE <u>Stefan Lehr</u>	DATE <u>2001-01-12</u>
	RESIDENCE D 40764 <u>Langenfeld</u> , Germany	CITIZENSHIP German	DEX
	POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
4-00	FULL NAME OF FOURTH INVENTOR <u>Otto Schallner</u>	INVENTOR'S SIGNATURE <u>Otto Schallner</u>	DATE <u>2000-12-11</u>
	RESIDENCE D 40789 <u>Monheim</u> , Germany	CITIZENSHIP German	DEX
	POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
5-00	FULL NAME OF FIFTH INVENTOR <u>Mark Wilhelm Drewes</u>	INVENTOR'S SIGNATURE <u>Mark Wilhelm Drewes</u>	DATE <u>18-12-2000</u>
	RESIDENCE D 40764 <u>Langenfeld</u> , Germany	CITIZENSHIP German	DEX
	POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
6-00	FULL NAME OF SIXTH INVENTOR <u>Dieter Feucht</u>	INVENTOR'S SIGNATURE <u>Dieter Feucht</u>	DATE <u>2000-12-19</u>
	RESIDENCE D 40789 <u>Monheim</u> , Germany	CITIZENSHIP German	DEX
	POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		
7-00	FULL NAME OF SEVENTH INVENTOR <u>Rolf Pontzen</u>	INVENTOR'S SIGNATURE <u>Rolf Pontzen</u>	DATE <u>2000-12-19</u>
	RESIDENCE D 42799 <u>Leichlingen</u> , Germany	CITIZENSHIP German	DEX
	POST OFFICE ADDRESS c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany		

09743876.020501

FEB 05 2001

FULL NAME OF EIGHTH INVENTOR

Ingo Wetchoiowsky

INVENTOR'S SIGNATURE

DATE

RESIDENCE

Vinhedo, S.P., CEP 13280000, Brazil

CITIZENSHIP

German

POST OFFICE ADDRESS

Vinhedo, S.P., CEP 13280000, Cond. Estancia Marambaia, Rua Avare 500, Brazil

BRX

FULL NAME OF NINTH INVENTOR

Heinz-Jürgen Wroblowsky

INVENTOR'S SIGNATURE

DATE

RESIDENCE

D 40764 Langenfeld, Germany

CITIZENSHIP

German

POST OFFICE ADDRESS

c/o Bayer Aktiengesellschaft, D 51368 Leverkusen, Germany

DEX

FULL NAME OF TENTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS

FULL NAME OF ELEVENTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS

FULL NAME OF TWELFTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS

FULL NAME OF THIRTEENTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS

FULL NAME OF FOURTEENTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS

FULL NAME OF FIFTEENTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS

FULL NAME OF SIXTEENTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS

FULL NAME OF SEVENTEENTH INVENTOR

INVENTOR'S SIGNATURE

DATE

RESIDENCE

CITIZENSHIP

POST OFFICE ADDRESS